

8/04/05

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TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	4	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	5	MAR 02	GBFULL: New full-text patent database on STN
NEWS	6	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 22	KOREAPAT now updated monthly; patent information enhanced
NEWS	9	MAR 22	Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS	10	MAR 22	PATDPASPC - New patent database available
NEWS	11	MAR 22	REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS	12	APR 04	EPFULL enhanced with additional patent information and new fields
NEWS	13	APR 04	EMBASE - Database reloaded and enhanced
NEWS	14	APR 18	New CAS Information Use Policies available online
NEWS	15	APR 25	Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAPLUS and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.
NEWS	16	APR 28	Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAPLUS
NEWS	17	MAY 23	GBFULL enhanced with patent drawing images
NEWS	18	MAY 23	REGISTRY has been enhanced with source information from CHEMCATS
NEWS	19	JUN 06	The Analysis Edition of STN Express with Discover! (Version 8.0 for Windows) now available
NEWS	20	JUN 13	RUSSIAPAT: New full-text patent database on STN
NEWS	21	JUN 13	FRFULL enhanced with patent drawing images
NEWS	22	JUN 27	MARPAT displays enhanced with expanded G-group definitions and text labels
NEWS	23	JUL 01	MEDICONF removed from STN
NEWS	24	JUL 07	STN Patent Forums to be held in July 2005
NEWS	25	JUL 13	SCISEARCH reloaded
NEWS	26	JUL 20	Powerful new interactive analysis and visualization software, STN AnaVist, now available
NEWS EXPRESS			JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS INTER			General Internet Information

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NEWS LOGIN      Welcome Banner and News Items  
NEWS PHONE      Direct Dial and Telecommunication Network Access to STN  
NEWS WWW        CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 17:00:08 ON 04 AUG 2005

=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:00:19 ON 04 AUG 2005

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STRUCTURE FILE UPDATES:      3 AUG 2005    HIGHEST RN 858181-56-3  
DICTIONARY FILE UPDATES:    3 AUG 2005    HIGHEST RN 858181-56-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10799386.str

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L1        STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1                STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -    AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 17:00:48 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -        13 TO ITERATE

100.0% PROCESSED            13 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*

BATCH    \*\*COMPLETE\*\*

PROJECTED ITERATIONS:            44 TO        476

PROJECTED ANSWERS:                0 TO        0

L2                0 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 17:00:53 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -        258 TO ITERATE

100.0% PROCESSED            258 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L3                0 SEA SSS FUL L1

=> file registry

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

162.19

162.40

FILE 'REGISTRY' ENTERED AT 17:02:04 ON 04 AUG 2005

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STRUCTURE FILE UPDATES:    3 AUG 2005    HIGHEST RN 858181-56-3

DICTIONARY FILE UPDATES:    3 AUG 2005    HIGHEST RN 858181-56-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

\*\*\*\*\*

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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005. A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*
*****
```

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10799386-2.str

L4           STRUCTURE UPLOADED

=> d l4

L4 HAS NO ANSWERS

L4                   STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l4

SAMPLE SEARCH INITIATED 17:02:26 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 627 TO ITERATE

100.0% PROCESSED           627 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:   ONLINE   \*\*COMPLETE\*\*

BATCH   \*\*COMPLETE\*\*

PROJECTED ITERATIONS:           11038 TO   14042

PROJECTED ANSWERS:               0 TO       0

L5           0 SEA SSS SAM L4

=> s l4 ful

FULL SEARCH INITIATED 17:02:31 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 12841 TO ITERATE

100.0% PROCESSED       12841 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.02

L6           0 SEA SSS FUL L4

=>

Uploading C:\Program Files\Stnexp\Queries\10799386-3.str

L7           STRUCTURE UPLOADED

=> d l7

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L7 HAS NO ANSWERS  
L7 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l7 ful  
FULL SEARCH INITIATED 17:06:43 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 64254 TO ITERATE

100.0% PROCESSED 64254 ITERATIONS 122 ANSWERS  
SEARCH TIME: 00.00.02

L8 122 SEA SSS FUL L7

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	325.24	487.64

FILE 'CAPLUS' ENTERED AT 17:06:49 ON 04 AUG 2005  
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FILE COVERS 1907 - 4 Aug 2005 VOL 143 ISS 6  
FILE LAST UPDATED: 3 Aug 2005 (20050803/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

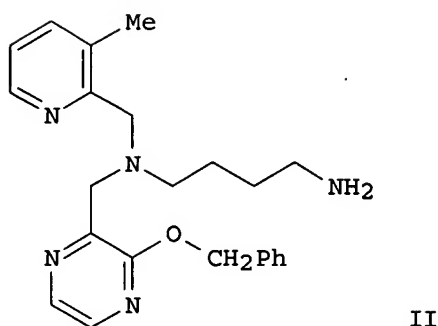
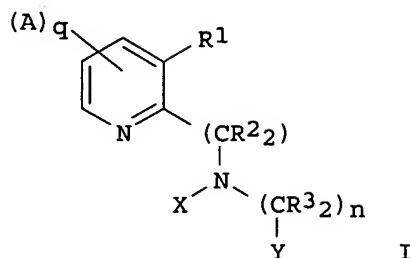
=> s l8  
L9 5 L8

=> d abs fbib hitstr 1-5

L9 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
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AB Title compds. I [X = (CR32)o-(CR3=CR3)p-(CR32)r-NR52, (CR32)s-R4, (un)substituted mono or bicyclic ring optionally containing N, O or S, etc.; Y = (un)substituted N-containing monocyclic or bicyclic aromatic or partially aromatic moiety; A and R1 = non-interfering substituent provided that two As do not form a ring; R2 and R3 = H or (un)substituted alkyl; R4 = (un)substituted heterocycle or a hetero compound; R5 = H or alkyl; wherein R1 and R2 is not H; and wherein R1 and R2 may be connected to form an addnl. ring if Y does not contain a 2-imidazolyl residue optionally connected to an addnl. ring; q and n independently = 0-4; p = 0-1; o and r independently = 1-4; s = 1-6 provided that if X = (CR3)2-R4, r is at least two if R4 = 2-pyridinyl, quinolinyl, imidazolyl or furan], as well as their pharmaceutically acceptable salts, are prepared and disclosed as having the ability to bind to chemokine receptors, in particular CXCR4. Thus, e.g., II was prepared by reductive amination of {4-[(3-methylpyridin-2-yl)methyl]-amino}-butyl}carbamic acid tert-Bu ester (preparation given) with 3-benzyloxypyrazine-2-carbaldehyde. The present invention also relates to methods of using such compds., such as in treating HIV infection and inflammatory conditions such as rheumatoid arthritis. In assays to evaluate inhibition of HIV-1, many compds. of the invention exhibited IC50 values in the range of 0.5nM-5µM. Furthermore, the present invention relates to methods to elevate progenitor and stem cell counts, as well as methods to elevate white blood cell counts, using such compds.

AN 2004:878165 CAPLUS

DN 141:379809

TI Preparation of pyridine derivatives as CXCR4 chemokine receptor binding compounds

IN Bridger, Gary; McEachern, Ernest J.; Skerlj, Renato; Schols, Dominique

PA USA

SO U.S. Pat. Appl. Publ., 211 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004209921	A1	20041021	US 2004-823494	20040412
				US 2003-462736P	P 20030411
				US 2003-505688P	P 20030923
	WO 2004091518	A2	20041028	WO 2004-US11328	20040412
	WO 2004091518	A3	20041223		
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	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2003-462736P	P 20030411
				US 2003-505688P	P 20030923

OS MARPAT 141:379809

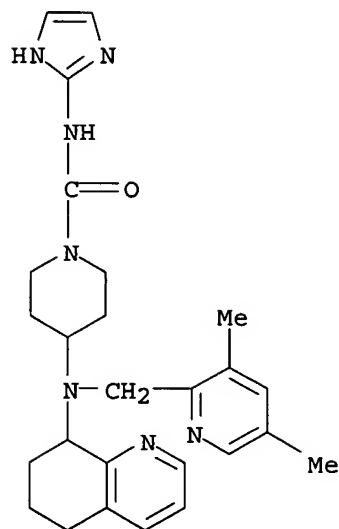
IT **780799-89-5P 780800-01-3P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyridine derivs. as CXCR4 chemokine receptor binding compds.)

RN 780799-89-5 CAPLUS

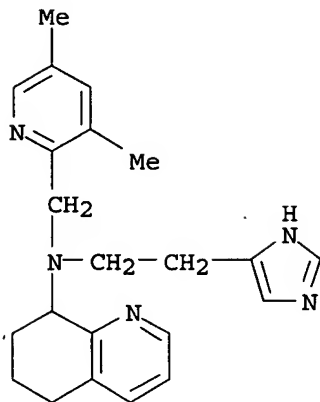
CN 1-Piperidinecarboxamide, 4-[[ (3,5-dimethyl-2-pyridinyl)methyl] (5,6,7,8-tetrahydro-8-quinolinyl) amino]-N-1H-imidazol-2-yl- (9CI) (CA INDEX NAME)



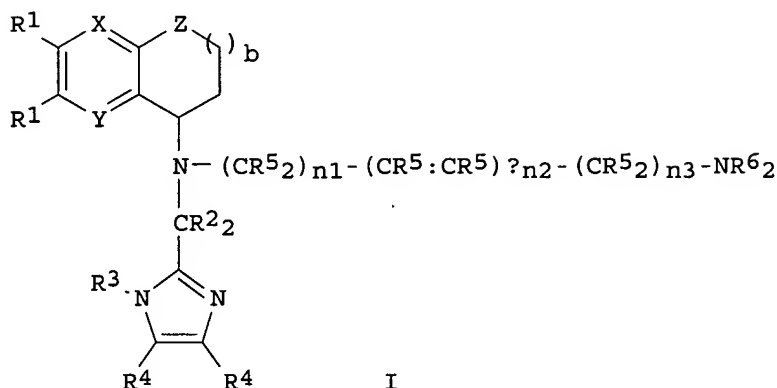
RN 780800-01-3 CAPLUS

CN 8-Quinolinamine, N-[(3,5-dimethyl-2-pyridinyl)methyl]-5,6,7,8-tetrahydro-N-[2-(1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)

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L9 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
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AB The invention relates to heterocyclic compds. (shown as I; e.g. (1H-benzimidazol-2-ylmethyl)(piperidin-3-ylmethyl)(5,6,7,8-tetrahydroquinolin-8-yl)amine trihydrobromide) consisting of a core N atom surrounded by three pendant groups, wherein two of the three pendant groups are preferably benzimidazolylmethyl and tetrahydroquinolyl, and the 3rd pendant group contains N and optionally contains addnl. rings. The compds. bind to chemokine receptors, including CXCR4 and CCR5, and demonstrate protective effects against infection of target cells by a human immunodeficiency virus (HIV). Many I exhibit IC<sub>50</sub> values of 5-5.5 nM for inhibition of HIV-1 (NL4.3) replication in peripheral blood mononuclear cells and 5 nM-5 μM for inhibition of SDF-1α induced Ca flux in CCRF-CEM cells, a T-lymphoblastoid cell line that expresses CXCR4. It is also stated that the compds. I behave in a manner similar to 1,1'-[1,4-phenylene-bis(methylene)]-bis-1,4,8,11-tetraazacyclotetradecane (AMD3100) which showed to elevate progenitor cell levels (data given). Although the methods of preparation are not claimed, >170 example preps. are included. For I: X and Y = N or CR<sub>1</sub>; Z is S, O, NR<sub>1</sub> or CR<sub>12</sub>; each R<sub>1</sub>-R<sub>6</sub> = H or a noninterfering substituent; n<sub>1</sub> is 0-4; n<sub>2</sub> is 0-1, wherein the a signifies C.tplbond.C may be substituted for CR<sub>5</sub>:CR<sub>5</sub>; n<sub>3</sub> is 0-4; wherein

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n1 + n2 + n3 =  $\geq 2$ ; b is 0-2; wherein the following combinations of R groups may be coupled to generate a ring, which ring may be (un)saturated: R2 + R2, one R2 + R3, R3 + one R4, R4 + R4, one R5 + another R5, one R5 + one R6, and R6 + R6; wherein the ring may not be aromatic when the participants in ring formation are two R5; and wherein when n2 is 1, neither n1 nor n3 can be 0.

AN 2004:80349 CAPLUS

DN 140:146136

TI Preparation of chemokine receptor binding (benzimidazol-2-ylmethyl) (5,6,7,8-tetrahydroquinolin-8-yl)amines and related heterocyclic compounds with enhanced efficacy against AIDS and other disorders

IN Bridger, Gary; Kaller, Al; Harwig, Curtis; Skerlj, Renato; Bogucki, David; Wilson, Trevor R.; Crawford, Jason; McEachern, Ernest J.; Atsma, Bem; Nan, Siqiao; Zhou, Yuanxi; Schols, Dominique; Smith, Christopher D.; Di Fluri, Maria R.

PA USA

SO U.S. Pat. Appl. Publ., 154 pp., Cont.-in-part of U.S. Ser. No. 446,170.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004019058	A1	20040129	US 2003-457034	20030606
				US 2001-342716P	P 20011221
				US 2002-350822P	P 20020117
				US 2002-329329	A2 20021223
				US 2003-446170	A2 20030523
	US 2003220341	A1	20031127	US 2002-329329	20021223
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				US 2002-350822P	P 20020117
	WO 2004106493	A2	20041209	WO 2004-US15977	20040521
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				US 2003-446170	A 20030523
				US 2003-457034	A 20030606

PATENT FAMILY INFORMATION:

FAN 2003:532661

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PI	WO 2003055876	A1	20030710	WO 2002-US41407	20021223
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	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,	

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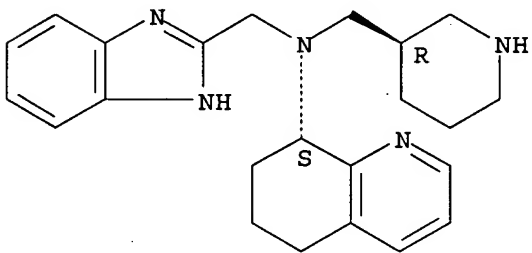
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WO 2002-US41407 W 20021223  
OS MARPAT 140:146136  
IT 558441-51-3P 558441-52-4P 558441-53-5P  
558441-54-6P 558441-55-7P, (1H-Benzimidazol-2-  
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[3-[[[(1H-Benzimidazol-2-ylmethyl)(5,6,7,8-tetrahydroquinolin-8-  
yl)amino]methyl]piperidin-1-yl](3,5-dichloropyridin-4-yl)methanone  
558448-47-8P, [3-[[[(1H-Benzimidazol-2-ylmethyl)(5,6,7,8-  
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(drug candidate; preparation of chemokine receptor binding  
benzimidazolylmethyl tetrahydroquinolinyl amines and related  
heterocyclic compds. with enhanced efficacy against AIDS and other  
disorders)  
RN 558441-51-3 CAPLUS  
CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[(3R)-  
3-piperidinylmethyl]-, trihydrobromide, (8R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

10799386

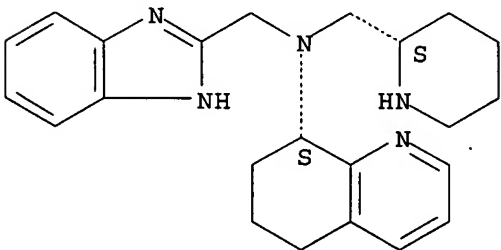
RN 558441-52-4 CAPLUS

Relative stereochemistry.



RN 558441-53-5 CAPLUS

Relative stereochemistry.



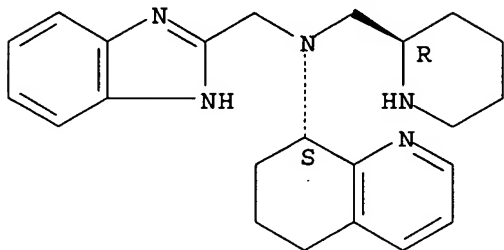
10799386

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RN 558441-54-6 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[(2R)-2-piperidinylmethyl]-, trihydrobromide, (8S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

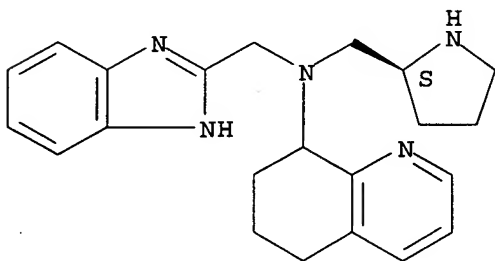


●3 HBr

RN 558441-55-7 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[(2S)-2-pyrrolidinylmethyl]-, trihydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

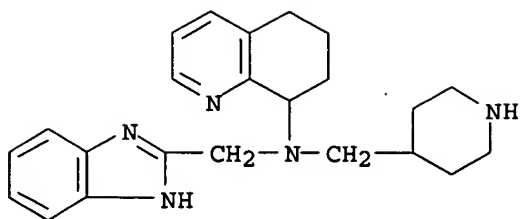


●3 HBr

RN 558441-56-8 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-(4-piperidinylmethyl)-, hydrobromide (10:31) (9CI) (CA INDEX NAME)

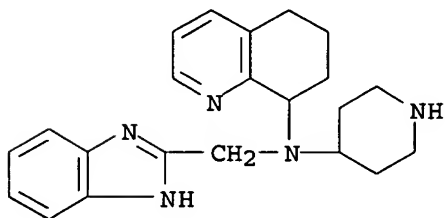
8/04/05



●31/10 HBr

RN 558441-57-9 CAPLUS

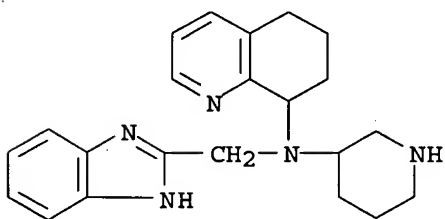
CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-4-piperidiny-, trihydrobromide (9CI) (CA INDEX NAME)



●3 HBr

RN 558441-59-1 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-3-piperidiny-, hydrobromide (10:31) (9CI) (CA INDEX NAME)



●31/10 HBr

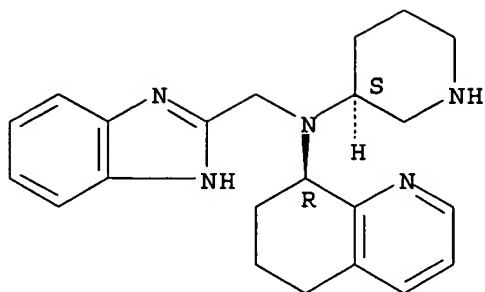
RN 558441-62-6 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-(3R)-3-piperidiny-, trihydrobromide, (8S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

10799386

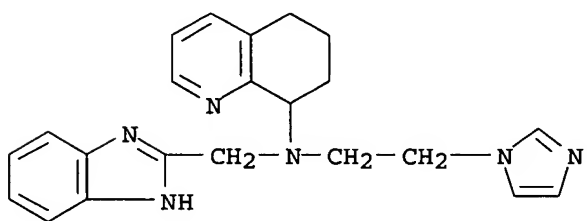
8/04/05



●3 HBr

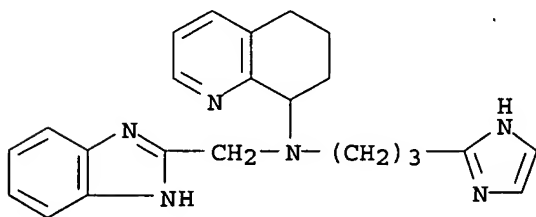
RN 558441-66-0 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[2-(1H-imidazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)



RN 558441-70-6 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[3-(1H-imidazol-2-yl)propyl]- (9CI) (CA INDEX NAME)

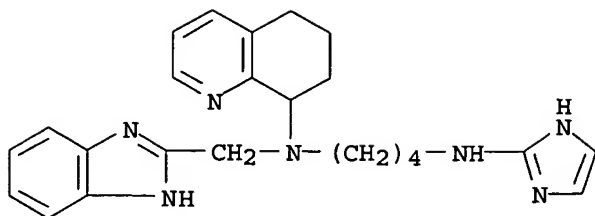


RN 558442-00-5 CAPLUS

CN 1,4-Butanediamine, N-(1H-benzimidazol-2-ylmethyl)-N'-1H-imidazol-2-yl-N-(5,6,7,8-tetrahydro-8-quinolinyl)- (9CI) (CA INDEX NAME)

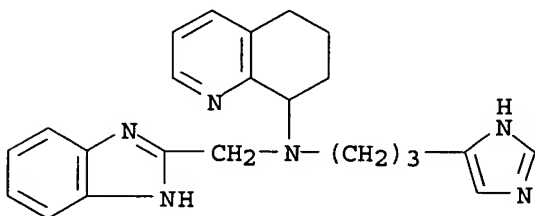
10799386

8/04/05



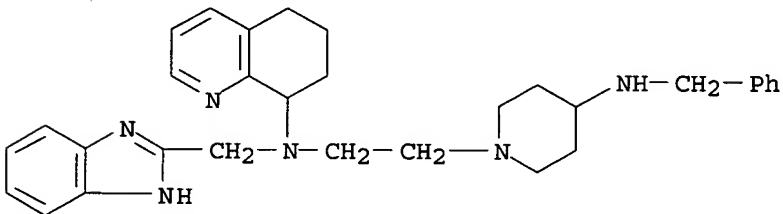
RN 558442-18-5 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[3-(1H-imidazol-4-yl)propyl]- (9CI) (CA INDEX NAME)



RN 558443-47-3 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[2-[4-[(phenylmethyl)amino]-1-piperidinyl]ethyl]-, hydrobromide (5:21) (9CI) (CA INDEX NAME)

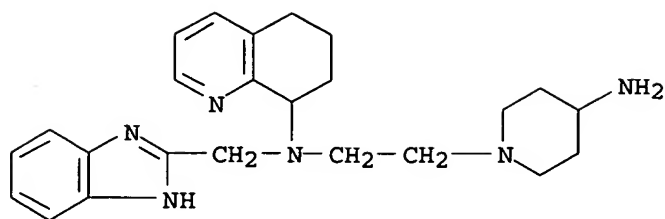


●21/5 HBr

RN 558443-51-9 CAPLUS

CN 8-Quinolinamine, N-[2-(4-amino-1-piperidinyl)ethyl]-N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-, hydrobromide (10:41) (9CI) (CA INDEX NAME)

8/04/05

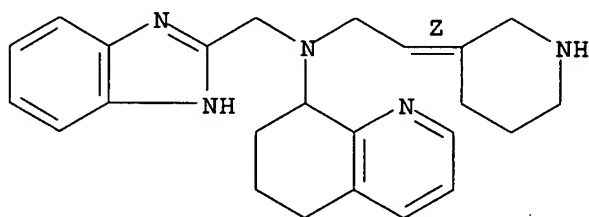


●41/10 HBr

RN 558448-07-0 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[(2Z)-2-(3-piperidinylidene)ethyl]-, trihydrobromide (9CI) (CA INDEX NAME)

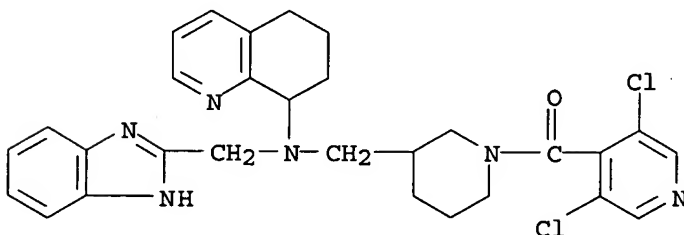
Double bond geometry as shown.



●3 HBr

RN 558448-41-2 CAPLUS

CN 3-Piperidinemethanamine, N-(1H-benzimidazol-2-ylmethyl)-1-[(3,5-dichloro-4-pyridinyl)carbonyl]-N-(5,6,7,8-tetrahydro-8-quinolinyl)- (9CI) (CA INDEX NAME)

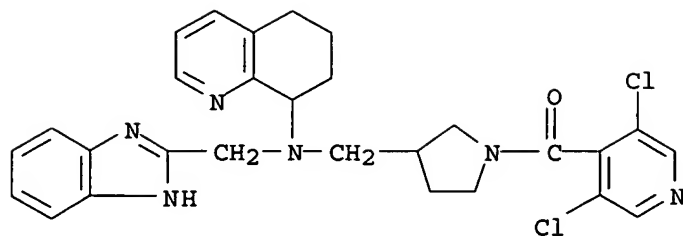


RN 558448-47-8 CAPLUS

CN 3-Pyrrolidinemethanamine, N-(1H-benzimidazol-2-ylmethyl)-1-[(3,5-dichloro-4-pyridinyl)carbonyl]-N-(5,6,7,8-tetrahydro-8-quinolinyl)- (9CI) (CA INDEX NAME)

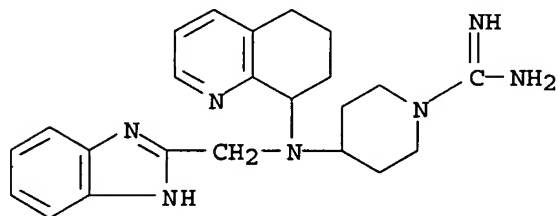


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RN 558448-60-5 CAPLUS

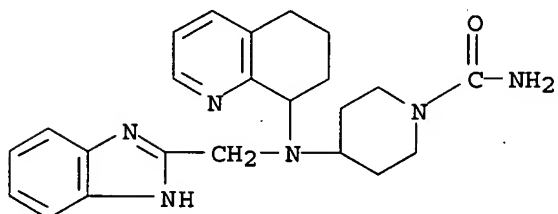
CN 1-Piperidinecarboximidamide, 4-[(1H-benzimidazol-2-ylmethyl)(5,6,7,8-tetrahydro-8-quinolinyl)amino]-, trihydrobromide (9CI) (CA INDEX NAME)



●3 HBr

RN 558448-67-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(1H-benzimidazol-2-ylmethyl)(5,6,7,8-tetrahydro-8-quinolinyl)amino]-, trihydrobromide (9CI) (CA INDEX NAME)



●3 HBr

IT 558441-69-3P, 2-[[[2-(Imidazol-1-yl)ethyl](5,6,7,8-tetrahydroquinolin-8-yl)amino]methyl]benzimidazole-1-carboxylic acid tert-butyl ester 558441-72-8P, 2-[[[(5,6,7,8-Tetrahydroquinolin-8-yl)(3-(1-trityl-1H-imidazol-2-yl)propyl)amino]methyl]benzimidazole-1-carboxylic acid tert-butyl ester 558441-73-9P, (1H-Benzimidazol-2-ylmethyl)(5,6,7,8-tetrahydroquinolin-8-yl)[3-(1-trityl-1H-imidazol-2-yl)propyl]amine 558442-19-6P, 2-[[[3-(1-tert-Butoxycarbonyl-1H-imidazol-4-yl)propyl](5,6,7,8-tetrahydroquinolin-8-yl)amino]methyl]benzimidazole-1-carboxylic acid tert-butyl ester 558443-49-5P, 2-[[[2-[4-(Benzyl-2-nitrobenzenesulfonylamino)piperidin-1-yl]ethyl](5,6,7,8-tetrahydroquinolin-8-yl)amino]methyl]benzimidazole-

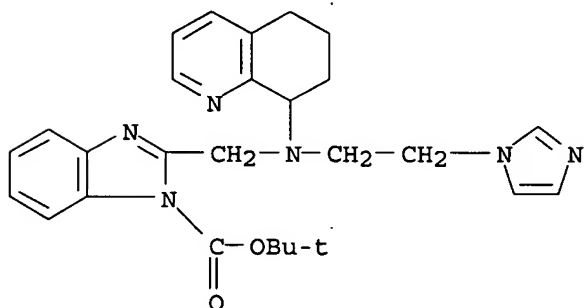
8/04/05

1-carboxylic acid tert-butyl ester 558443-50-8P  
558443-54-2P 558448-15-0P, cis-2-[[[2-[1-(tert-Butoxycarbonyl)piperidin-3-ylidene]ethyl] (5,6,7,8-tetrahydroquinolin-8-yl)amino]methyl]benzimidazole-1-carboxylic acid tert-butyl ester  
558448-65-0P, 2-[[[1-[(tert-Butoxycarbonylamino) (tert-butoxycarbonylimino)methyl]piperidin-4-yl] (5,6,7,8-tetrahydroquinolin-8-yl)amino]methyl]benzimidazole-1-carboxylic acid tert-butyl ester  
558448-69-4P, 2-[[[1-(tert-Butoxycarbonylpiperidin-4-yl) (5,6,7,8-tetrahydroquinolin-8-yl)amino]methyl]benzimidazole-1-carboxylic acid tert-butyl ester 558448-71-8P, (1H-Benzimidazol-2-ylmethyl)piperidin-4-yl (5,6,7,8-tetrahydroquinolin-8-yl)amine  
558448-73-0P, 4-[(1H-Benzimidazol-2-ylmethyl) (5,6,7,8-tetrahydroquinolin-8-yl)amino]piperidine-1-carboxylic acid amide  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of chemokine receptor binding benzimidazolylmethyl tetrahydroquinolinyl amines and related heterocyclic compds. with enhanced efficacy against AIDS and other disorders)

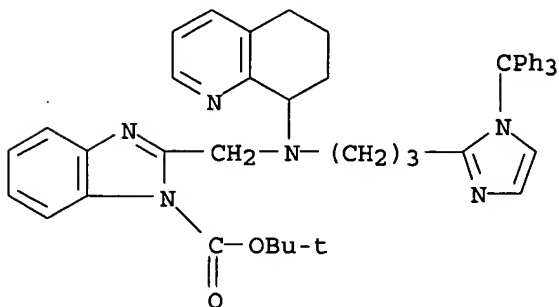
RN 558441-69-3 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[2-(1H-imidazol-1-yl)ethyl] (5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 558441-72-8 CAPLUS

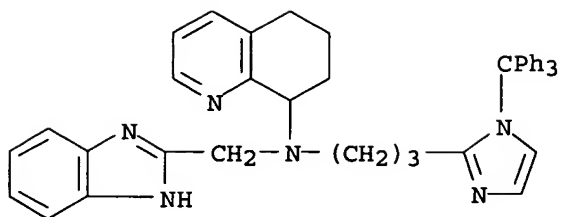
CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[(5,6,7,8-tetrahydro-8-quinolinyl) [3-[1-(triphenylmethyl)-1H-imidazol-2-yl]propyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 558441-73-9 CAPLUS

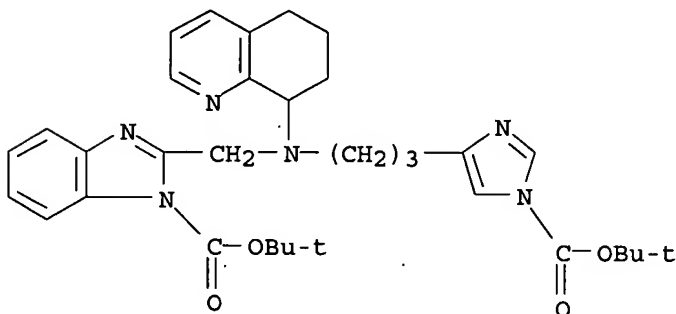
CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[3-[1-(triphenylmethyl)-1H-imidazol-2-yl]propyl]- (9CI) (CA INDEX NAME)

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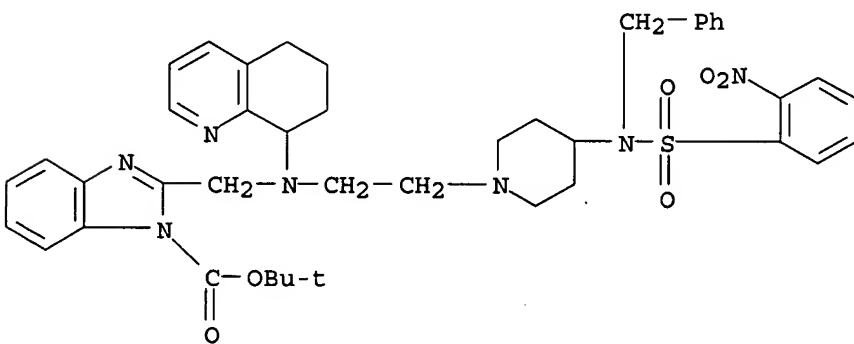
RN 558442-19-6 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[3-[1-[(1,1-dimethylethoxy)carbonyl]-1H-imidazol-4-yl]propyl](5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 558443-49-5 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[2-[4-[[2-nitrophenyl)sulfonyl](phenylmethyl)amino]-1-piperidinyl]ethyl](5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

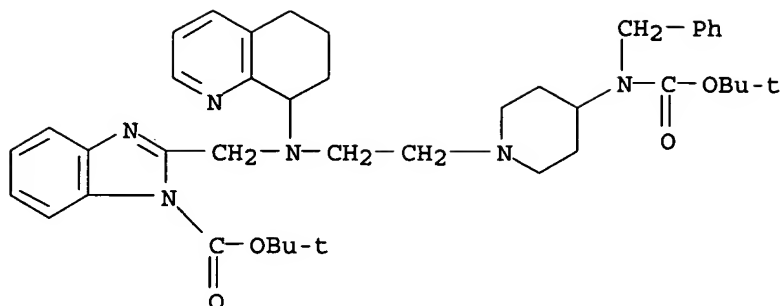


RN 558443-50-8 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[2-[4-[[2-nitrophenyl)sulfonyl](phenylmethyl)amino]-1-piperidinyl]ethyl](5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

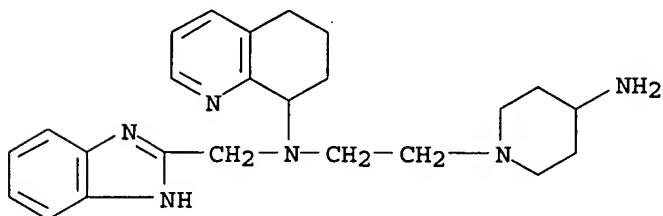
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RN 558443-54-2 CAPLUS

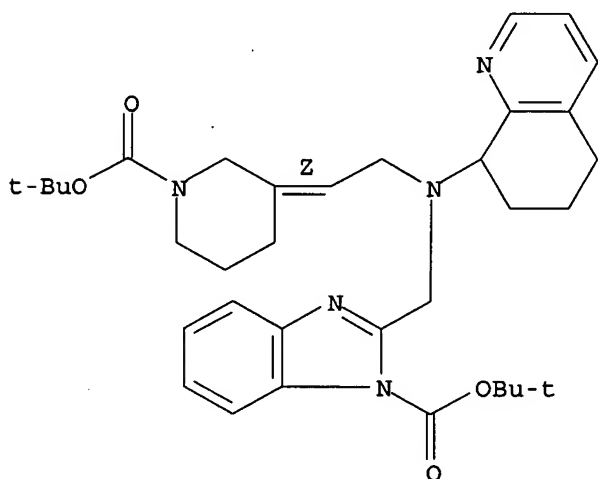
CN 8-Quinolinamine, N-[2-(4-amino-1-piperidinyl)ethyl]-N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 558448-15-0 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[(2Z)-2-[1-[(1,1-dimethylethoxy)carbonyl]-3-piperidinylidene]ethyl]](5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

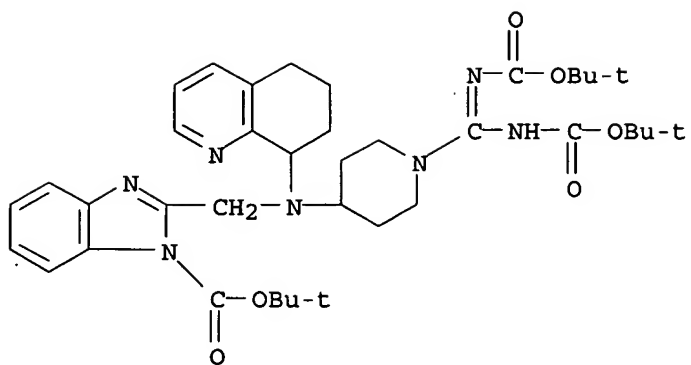


RN 558448-65-0 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[1-[[[(1,1-dimethylethoxy)carbonyl]amino][[(1,1-dimethylethoxy)carbonyl]imino]methyl]-4-piperidinyl]](5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

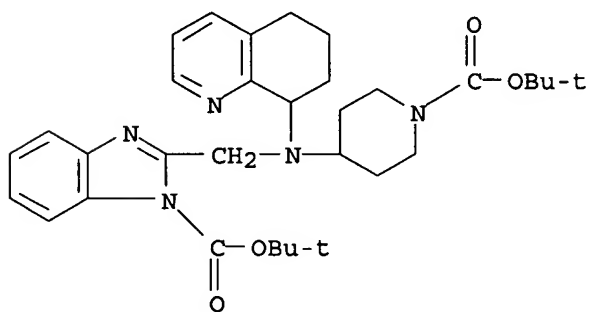
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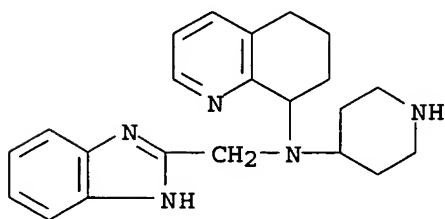
RN 558448-69-4 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl](5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 558448-71-8 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-4-piperidinyl- (9CI) (CA INDEX NAME)

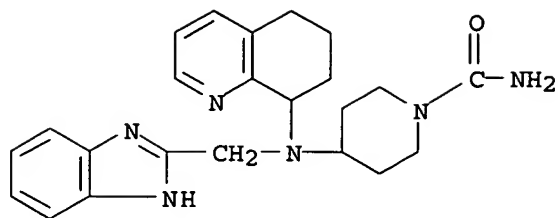


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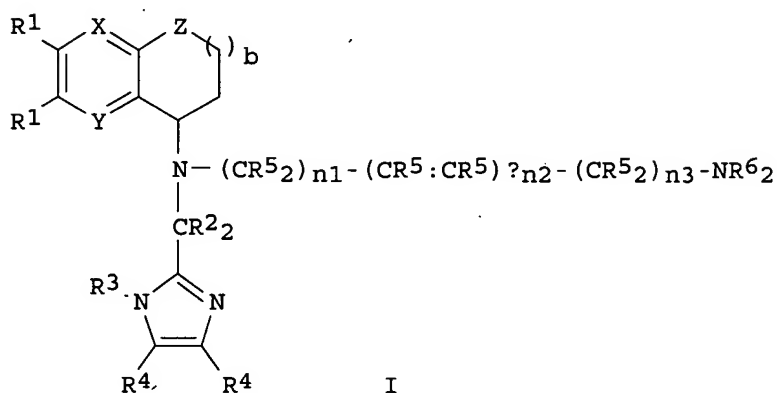
CN 1-Piperidinecarboxamide, 4-[(1H-benzimidazol-2-ylmethyl)(5,6,7,8-tetrahydro-8-quinolinyl)amino]- (9CI) (CA INDEX NAME)

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L9 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
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AB The invention relates to heterocyclic compds. (shown as I; e.g. (1H-benzimidazol-2-ylmethyl)(piperidin-3-ylmethyl)(5,6,7,8-tetrahydroquinolin-8-yl)amine trihydrobromide) consisting of a core N atom surrounded by three pendant groups, wherein two of the three pendant groups are preferably benzimidazolylmethyl and tetrahydroquinolyl, and the 3rd pendant group contains N and optionally contains addnl. rings. The compds. bind to chemokine receptors, including CXCR4 and CCR5, and demonstrate protective effects against infection of target cells by a human immunodeficiency virus (HIV). Many I exhibit IC50 values of 5-5.5 nM for inhibition of HIV-1 (NL4.3) replication in peripheral blood mononuclear cells and 5 nM-5 μM for inhibition of SDF-1α induced Ca flux in CCRF-CEM cells, a T-lymphoblastoid cell line that expresses CXCR4. Although the methods of preparation are not claimed, >170 example preps. are included. For I: X and Y = N or CR1; Z is S, O, NR1 or CR12; each R1-R6 = H or a noninterfering substituent; n1 is 0-4; n2 is 0-1, wherein the a signifies C.tplbond.C may be substituted for CR5:CR5; n3 is 0-4; wherein n1 + n2 + n3 = ≥ 2; b is 0-2; wherein the following combinations of R groups may be coupled to generate a ring, which ring may be (un)saturated: R2 + R2, one R2 + R3, R3 + one R4, R4 + R4, one R5 + another R5, one R5 + one R6, and R6 + R6; wherein the ring may not be aromatic when the participants in ring formation are two R5; and wherein when n2 is 1, neither n1 nor n3 can be 0.

AN 2003:532661 CAPLUS

DN 139:101128

TI Preparation of chemokine receptor binding (benzimidazol-2-

10799386

8/04/05

ylmethyl) (5,6,7,8-tetrahydroquinolin-8-yl)amines and related heterocyclic compounds with enhanced efficacy against AIDS and other disorders

IN Bridger, Gary J.; Skerlj, Renato T.; Kaller, Al; Harwig, Curtis; Bogucki, David; Wilson, Trevor; Crawford, Jason; McEachern, Ernest J.; Atsma, Bem; Nan, Siqiao; Zhou, Yuanxi; Schols, Dominique; Smith, Christopher Dennis; Di Fluri, Rosaria Maria

PA Anormed Inc., Can.; et al.

SO PCT Int. Appl., 360 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2003055876	A1	20030710	WO 2002-US41407	20021223
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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				US 2002-350822P	P 20020117
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				WO 2002-US41407	W 20021223
	BR 2002015050	A	20041013	BR 2002-15050	20021223
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				US 2002-350822P	P 20020117
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				US 2002-350822P	P 20020117
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PATENT FAMILY INFORMATION:

FAN 2004:80349

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 2004019058	A1	20040129	US 2003-457034	20030606
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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
SN, TD, TG

US 2003-446170

A 20030523

US 2003-457034

A 20030606

*later  
date*

OS MARPAT 139:101128

IT 558441-51-3P 558441-52-4P 558441-53-5P

558441-54-6P 558441-55-7P, (1H-Benzimidazol-2-ylmethyl) ((S)-pyrrolidin-2-ylmethyl) (5,6,7,8-tetrahydroquinolin-8-yl) amine trihydrobromide 558441-56-8P 558441-57-9P, (1H-Benzimidazol-2-ylmethyl) (piperidin-4-yl) (5,6,7,8-tetrahydroquinolin-8-yl) amine trihydrobromide 558441-59-1P 558441-62-6P 558441-66-0P, (1H-Benzimidazol-2-ylmethyl) [2-(imidazol-1-yl)ethyl] (5,6,7,8-tetrahydroquinolin-8-yl) amine 558441-70-6P, (1H-Benzimidazol-2-ylmethyl) [3-(1H-imidazol-2-yl)propyl] (5,6,7,8-tetrahydroquinolin-8-yl) amine 558442-00-5P, N-(1H-Benzimidazol-2-ylmethyl)-N'-(1H-imidazol-2-yl)-N-(5,6,7,8-tetrahydroquinolin-8-yl) butane-1,4-diamine 558442-18-5P, (1H-Benzimidazol-2-ylmethyl) [3-(1H-imidazol-4-yl)propyl] (5,6,7,8-tetrahydroquinolin-8-yl) amine 558443-47-3P 558443-51-9P 558448-07-0P, (1H-Benzimidazol-2-ylmethyl) [cis-2-(piperidin-3-ylidene)ethyl] (5,6,7,8-tetrahydroquinolin-8-yl) amine trihydrobromide 558448-41-2P, [3-[[[(1H-Benzimidazol-2-ylmethyl) (5,6,7,8-tetrahydroquinolin-8-yl) amino]methyl]piperidin-1-yl] (3,5-dichloropyridin-4-yl) methanone 558448-47-8P, [3-[[[(1H-Benzimidazol-2-ylmethyl) (5,6,7,8-tetrahydroquinolin-8-yl) amino]methyl]pyrrolidin-1-yl] (3,5-dichloropyridin-4-yl) methanone 558448-60-5P 558448-67-2P, 4-[(1H-Benzimidazol-2-ylmethyl) (5,6,7,8-tetrahydroquinolin-8-yl) amino]piperidine-1-carboxylic acid amide trihydrobromide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of chemokine receptor binding benzimidazolylmethyl tetrahydroquinolinyl amines and related heterocyclic compds. with enhanced efficacy against AIDS and other disorders)

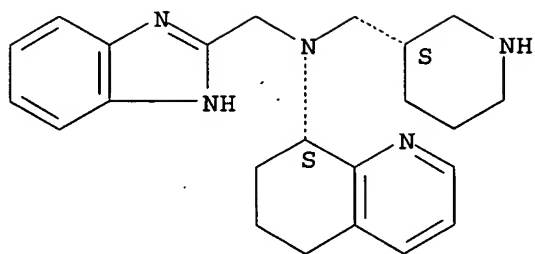
RN 558441-51-3 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[(3R)-3-piperidinylmethyl]-, trihydrobromide, (8R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



8/04/05

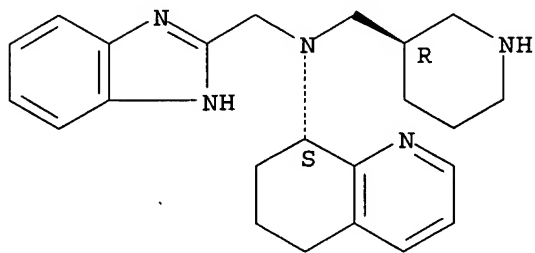


● 3 HBr

RN 558441-52-4 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[(3R)-3-piperidinylmethyl]-, trihydrobromide, (8S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

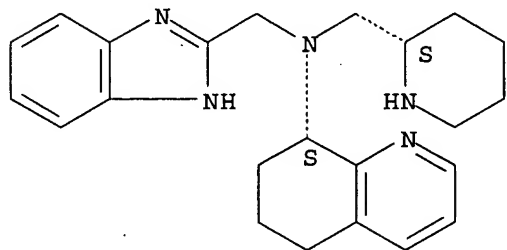


● 3 HBr

RN 558441-53-5 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[(2R)-2-piperidinylmethyl]-, trihydrobromide, (8R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● 3 HBr

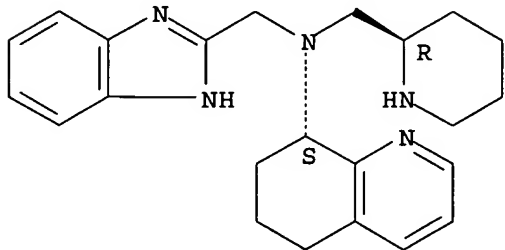
10799386

8/04/05

RN 558441-54-6 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[(2R)-2-piperidinylmethyl]-, trihydrobromide, (8S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

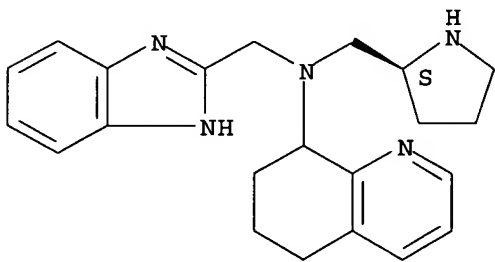


●3 HBr

RN 558441-55-7 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[(2S)-2-pyrrolidinylmethyl]-, trihydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

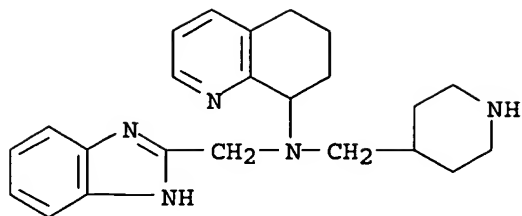


●3 HBr

RN 558441-56-8 CAPLUS

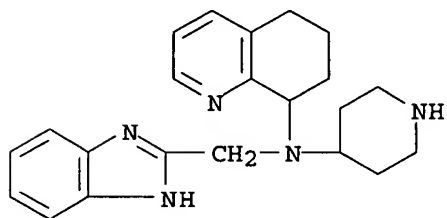
CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-(4-piperidinylmethyl)-, hydrobromide (10:31) (9CI) (CA INDEX NAME)

8/04/05



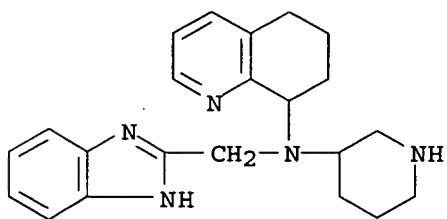
●31/10 HBr

RN 558441-57-9 CAPLUS  
CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-4-piperidinyl-, trihydrobromide (9CI) (CA INDEX NAME)



●3 HBr

RN 558441-59-1 CAPLUS  
CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-3-piperidinyl-, hydrobromide (10:31) (9CI) (CA INDEX NAME)



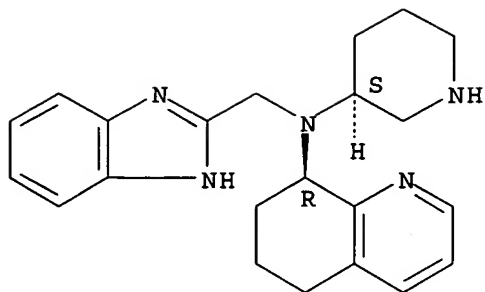
●31/10 HBr

RN 558441-62-6 CAPLUS  
CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-(3R)-3-piperidinyl-, trihydrobromide, (8S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

10799386

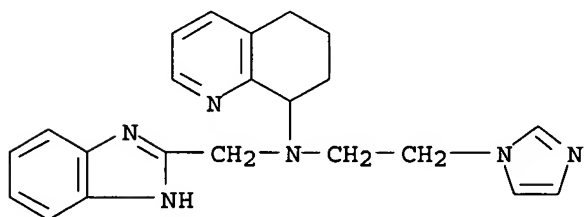
8/04/05



●3 HBr

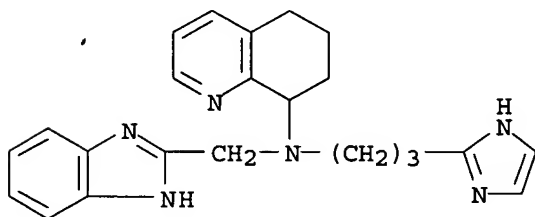
RN 558441-66-0 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[2-(1H-imidazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)



RN 558441-70-6 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[3-(1H-imidazol-2-yl)propyl]- (9CI) (CA INDEX NAME)

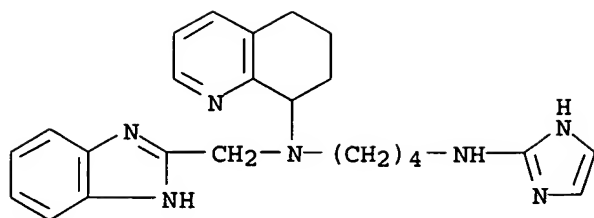


RN 558442-00-5 CAPLUS

CN 1,4-Butanediamine, N-(1H-benzimidazol-2-ylmethyl)-N'-1H-imidazol-2-yl-N-(5,6,7,8-tetrahydro-8-quinolinyl)- (9CI) (CA INDEX NAME)

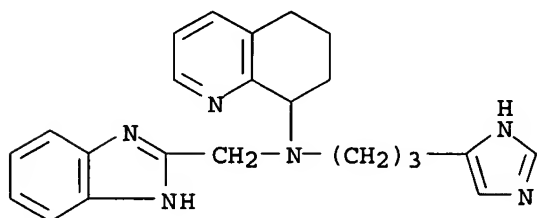
10799386

8/04/05



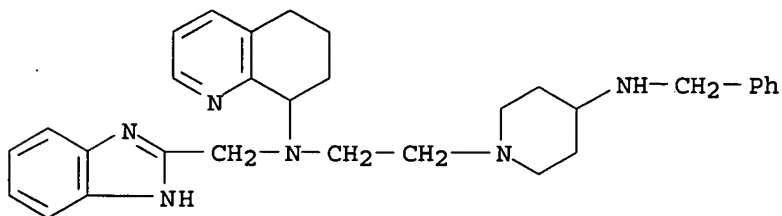
RN 558442-18-5 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[3-(1H-imidazol-4-yl)propyl]- (9CI) (CA INDEX NAME)



RN 558443-47-3 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[2-[4-[(phenylmethyl)amino]-1-piperidinyl]ethyl]-, hydrobromide (5:21) (9CI) (CA INDEX NAME)

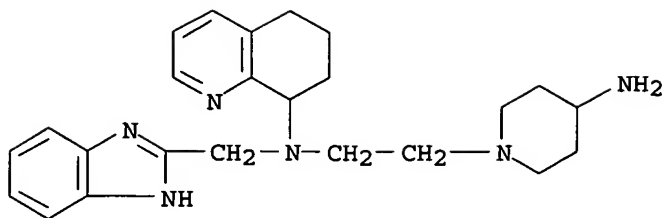


●21/5 HBr

RN 558443-51-9 CAPLUS

CN 8-Quinolinamine, N-[2-(4-amino-1-piperidinyl)ethyl]-N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-, hydrobromide (10:41) (9CI) (CA INDEX NAME)

8/04/05

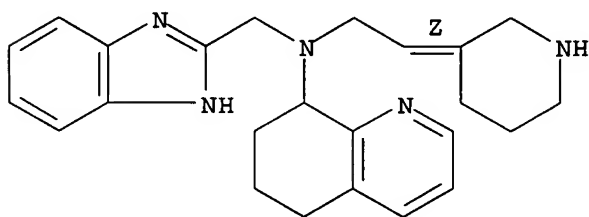


●41/10 HBr

RN 558448-07-0 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[(2Z)-2-(3-piperidinylidene)ethyl]-, trihydrobromide (9CI) (CA INDEX NAME)

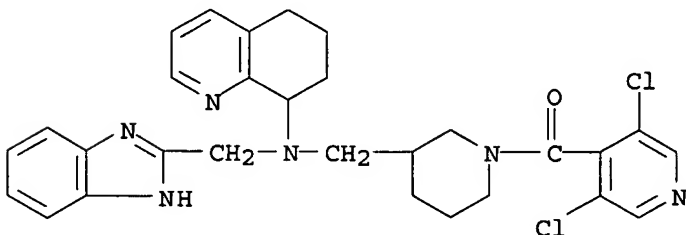
Double bond geometry as shown.



●3 HBr

RN 558448-41-2 CAPLUS

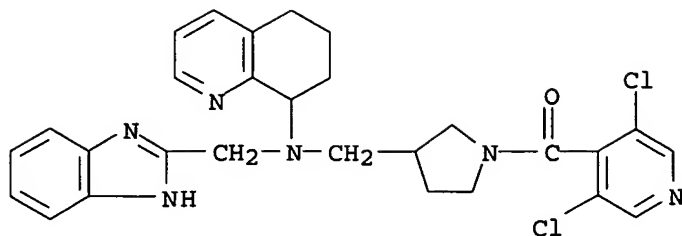
CN 3-Piperidinemethanamine, N-(1H-benzimidazol-2-ylmethyl)-1-[(3,5-dichloro-4-pyridinyl)carbonyl]-N-(5,6,7,8-tetrahydro-8-quinolinyl)- (9CI) (CA INDEX NAME)



RN 558448-47-8 CAPLUS

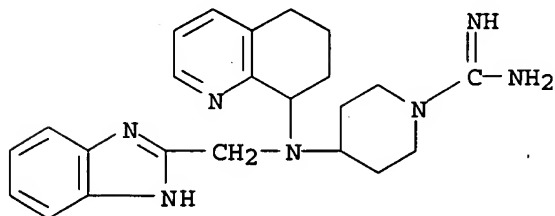
CN 3-Pyrrolidinemethanamine, N-(1H-benzimidazol-2-ylmethyl)-1-[(3,5-dichloro-4-pyridinyl)carbonyl]-N-(5,6,7,8-tetrahydro-8-quinolinyl)- (9CI) (CA INDEX NAME)

8/04/05



RN 558448-60-5 CAPLUS

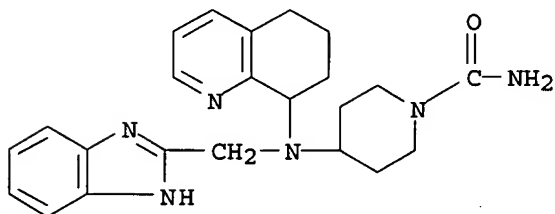
CN 1-Piperidinecarboximidamide, 4-[(1H-benzimidazol-2-ylmethyl)(5,6,7,8-tetrahydro-8-quinolinyl)amino]-, trihydrobromide (9CI) (CA INDEX NAME)



● 3 HBr

RN 558448-67-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(1H-benzimidazol-2-ylmethyl)(5,6,7,8-tetrahydro-8-quinolinyl)amino]-, trihydrobromide (9CI) (CA INDEX NAME)



● 3 HBr

IT 558441-69-3P, 2-[[[2-(Imidazol-1-yl)ethyl](5,6,7,8-tetrahydroquinolin-8-yl)amino]methyl]benzimidazole-1-carboxylic acid tert-butyl ester 558441-72-8P, 2-[[[(5,6,7,8-Tetrahydroquinolin-8-yl)[3-(1-trityl-1H-imidazol-2-yl)propyl]amino]methyl]benzimidazole-1-carboxylic acid tert-butyl ester 558441-73-9P, (1H-Benzimidazol-2-ylmethyl)(5,6,7,8-tetrahydroquinolin-8-yl)[3-(1-trityl-1H-imidazol-2-yl)propyl]amine 558442-19-6P, 2-[[[3-(1-tert-Butoxycarbonyl-1H-imidazol-4-yl)propyl](5,6,7,8-tetrahydroquinolin-8-yl)amino]methyl]benzimidazole-1-carboxylic acid tert-butyl ester 558443-49-5P, 2-[[[2-[4-(Benzyl-2-nitrobenzenesulfonylamino)piperidin-1-yl]ethyl](5,6,7,8-tetrahydroquinolin-8-yl)amino]methyl]benzimidazole-

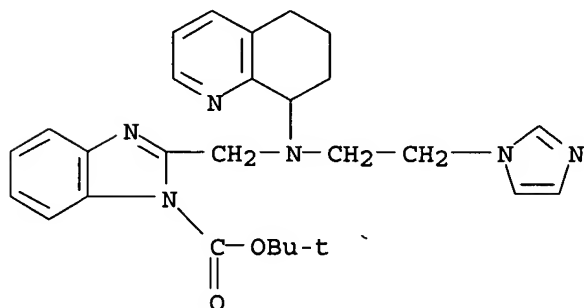
8/04/05

1-carboxylic acid tert-butyl ester 558443-50-8P  
558443-54-2P 558448-15-0P, cis-2-[[[2-[1-(tert-Butoxycarbonyl)piperidin-3-ylidene]ethyl] (5,6,7,8-tetrahydroquinolin-8-yl)amino]methyl]benzimidazole-1-carboxylic acid tert-butyl ester  
558448-65-0P, 2-[[[1-[(tert-Butoxycarbonylamino) (tert-butoxycarbonylimino)methyl]piperidin-4-yl] (5,6,7,8-tetrahydroquinolin-8-yl)amino]methyl]benzimidazole-1-carboxylic acid tert-butyl ester  
558448-69-4P, 2-[[[1-(tert-Butoxycarbonylpiperidin-4-yl) (5,6,7,8-tetrahydroquinolin-8-yl)amino]methyl]benzimidazole-1-carboxylic acid tert-butyl ester 558448-71-8P, (1H-Benzimidazol-2-ylmethyl)piperidin-4-yl (5,6,7,8-tetrahydroquinolin-8-yl)amine  
558448-73-0P, 4-[(1H-Benzimidazol-2-ylmethyl) (5,6,7,8-tetrahydroquinolin-8-yl)amino]piperidine-1-carboxylic acid amide  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of chemokine receptor binding benzimidazolylmethyl tetrahydroquinolinyl amines and related heterocyclic compds. with enhanced efficacy against AIDS and other disorders)

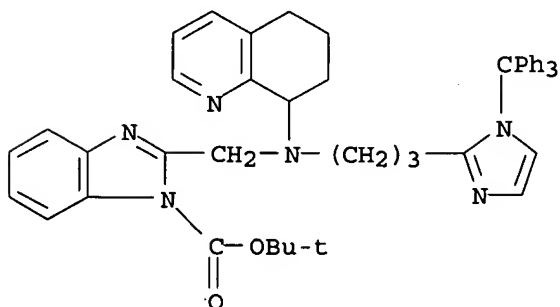
RN 558441-69-3 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[2-(1H-imidazol-1-yl)ethyl] (5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 558441-72-8 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[5,6,7,8-tetrahydro-8-quinolinyl] [3-[1-(triphenylmethyl)-1H-imidazol-2-yl]propyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



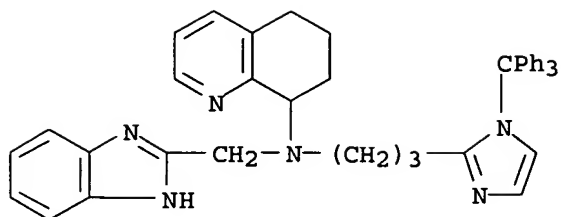
RN 558441-73-9 CAPLUS

CN 8-Quinolamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[3-[1-(triphenylmethyl)-1H-imidazol-2-yl]propyl]- (9CI) (CA INDEX NAME)

10799386

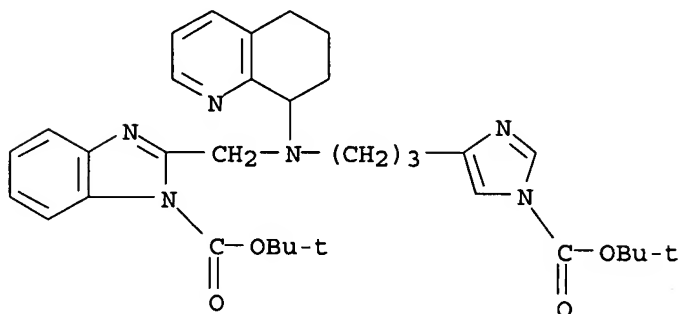


8/04/05



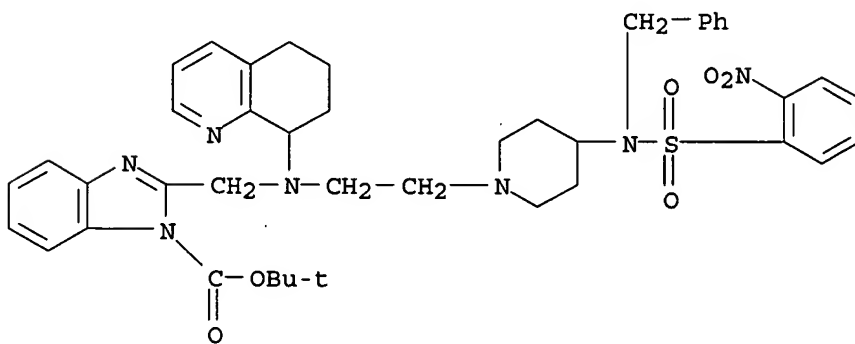
RN 558442-19-6 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[3-[1-[(1,1-dimethylethoxy)carbonyl]-1H-imidazol-4-yl]propyl](5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 558443-49-5 CAPLUS

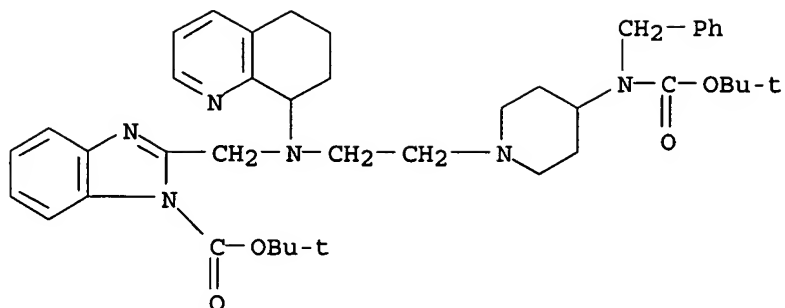
CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[2-[4-[[2-nitrophenyl)sulfonyl](phenylmethyl)amino]-1-piperidinyl]ethyl](5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 558443-50-8 CAPLUS

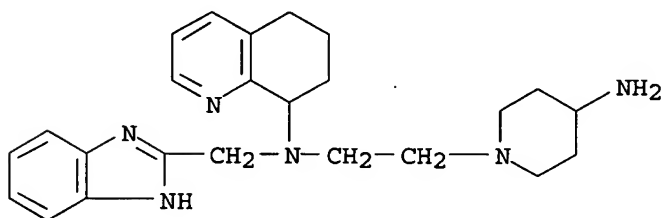
CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[2-[4-[[2-nitrophenyl)sulfonyl](phenylmethyl)amino]-1-piperidinyl]ethyl](5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

8/04/05



RN 558443-54-2 CAPLUS

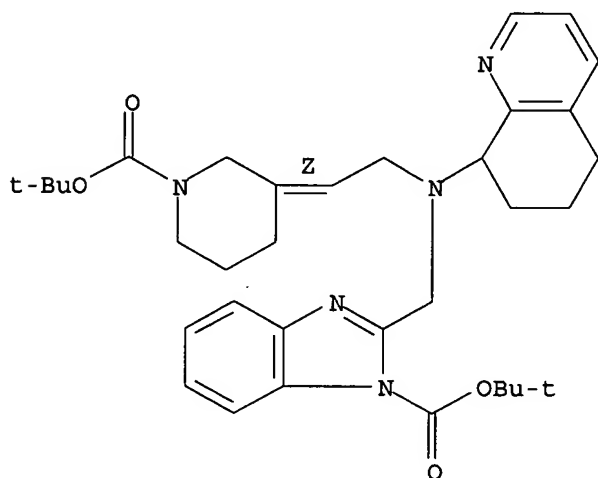
CN 8-Quinolinamine, N-[2-(4-amino-1-piperidinyl)ethyl]-N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 558448-15-0 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[(2Z)-2-[1-[(1,1-dimethylethoxy)carbonyl]-3-piperidinylidene]ethyl](5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

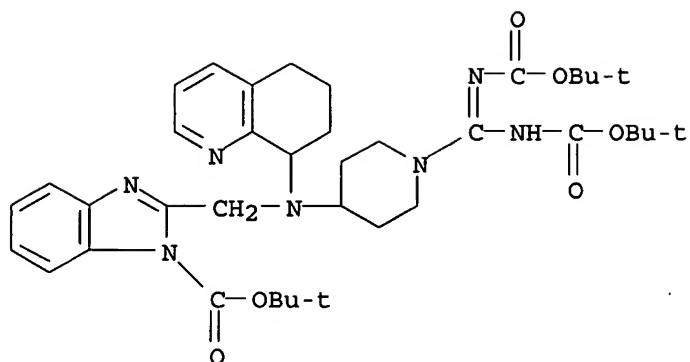


RN 558448-65-0 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[1-[[[(1,1-dimethylethoxy)carbonyl]amino][[(1,1-dimethylethoxy)carbonyl]imino]methyl]-4-piperidinyl](5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

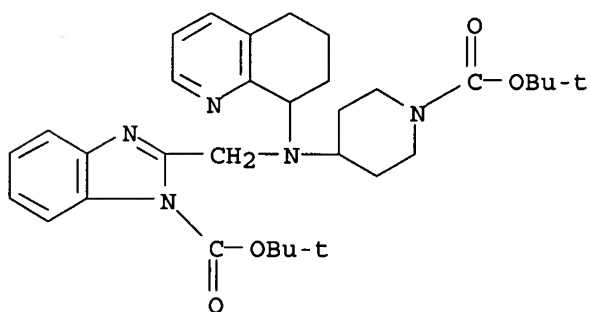
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8/04/05



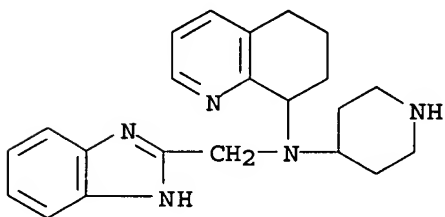
RN 558448-69-4 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl](5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 558448-71-8 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-4-piperidinyl- (9CI) (CA INDEX NAME)

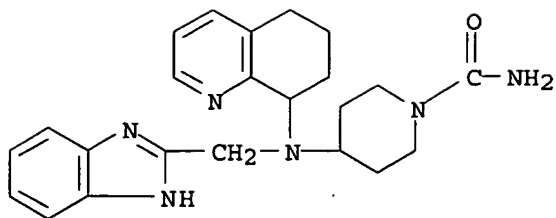


RN 558448-73-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[(1H-benzimidazol-2-ylmethyl)(5,6,7,8-tetrahydro-8-quinolinyl)amino]- (9CI) (CA INDEX NAME)

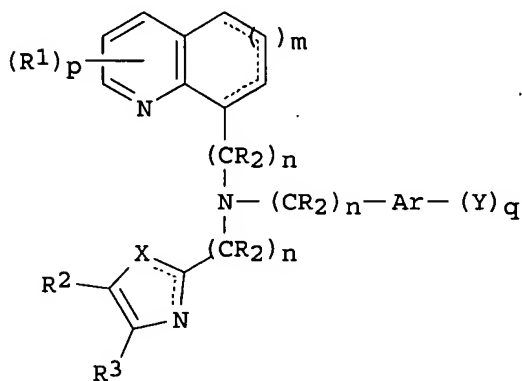
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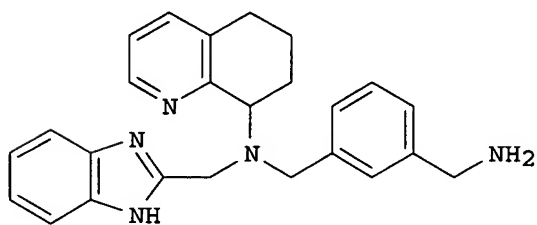


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
GI



I



II

AB Title compds. I [wherein ring A optionally comprises a heteroatom selected from N, O, or S; R1-R3 = non-interfering substituents; R4 and R5 = independently H or (un)substituted alkyl, alkenyl, alkynyl, or acyl; or 2 R5 may form a cyclic amine, optionally containing 1 or more N, O, and/or S; R = independently H or alkyl; X = O or S or (un)substituted C or N; Y = independently halo, OH, SH, SO, SO2, non-N containing organic moiety, (CH2)xCN, (CR2)xNR52, (CR2)xNR(CR2)xNRR4, (CR2)xNR(CR2)xNR(CR2)xNR52, (CR2)xCO(CR2)xNR52, (CR2)xCO(CR2)xNR(CR2)xNRR4, (CR2)xCO(CR2)xNR(CR2)xNR(CR2)xNR52, (CR2)xNRCO(CR2)xNRR4, (CR2)xNRCO(CR2)xNR(CR2)xNR52, (CR2)xNRCO(CR2)xNR(CR2)xNR(CR2)xNR(CR2)xNR52, CH:NZ, (CR2)xZ, NR(CR2)xZ, (CR2)xNROH, (CR2)xCONROH, or (CR2)xCR:NOH; or 2 Y groups may be connected to form a fused ring with Ar; Z = (un)substituted (hetero)aryl; Ar = (hetero)aryl; m = 0-2; n = 0-2; p =

8/04/05

0-4; q = 0-3; x = 0-4; with provisos; and pharmaceutically acceptable salts and pro-drugs thereof] were prepared as modulators of chemokine receptor activities. For example, reductive addition of 3-cyanobenzaldehyde to 8-amino-5,6,7,8-tetrahydroquinoline using sodium triacetoxyborohydride in CH<sub>2</sub>Cl<sub>2</sub> afforded N-(5,6,7,8-tetrahydro-8-quinolinyl)-3-cyanobenzylamine (81%). Alkylation with N-(tert-butoxycarbonyl)-2-chloromethylbenzimidazole using N,N-diisopropylethylamine and KI in MeCN (88%), followed by hydrogenation in the presence of Raney nickel (79%), gave the tertiary amine II (AMD9679). Compds. of the invention tested for inhibition of HIV-1 NL4.3 or IIIB replication in MT-4 cells exhibited EC<sub>50</sub> values of 0.002 µM/mL to 20.0 µM/mL. Thus, I are useful for the treatment of human immunodeficiency virus (HIV) and/or feline immunodeficiency virus (FIV).

AN 2002:332188 CAPLUS

DN 136:355235

TI Preparation of tertiary N-(5,6,7,8-tetrahydro-8-quinolinyl)-N-(1H-benzimidazol-2-ylmethyl)amines and analogs as chemokine receptor modulators for treatment of HIV or FIV

IN Bridger, Gary; Skerlj, Renato; Kaller, Al; Harwig, Curtis; Bogucki, David; Wilson, Trevor R.; Crawford, Jason; Mceachern, Ernest J.; Atsman, Berm; Nan, Siqiao; Zhou, Yuanxi; Schols, Dominique; Smith, Christopher Dennis; Di Fluri, Rosaria Maria

PA Anormed Inc., Can.

SO PCT Int. Appl., 187 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002034745	A1	20020502	WO 2001-US29590	20010919
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PATENT FAMILY INFORMATION:

FAN 2002:220575

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*application*

FAN	2002:220576				
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US 6864265	B2	20050308	US 2000-232891P	P	20000915
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			US 2001-957682	A3	20010917

OS MARPAT 136:355235

IT 422282-07-3P, AMD 9986

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(chemokine receptor modulator; preparation of N-(tetrahydroquinolinyl)-N-(benzimidazolylmethyl)amines and analogs as chemokine receptor modulators for treatment of HIV or FIV)

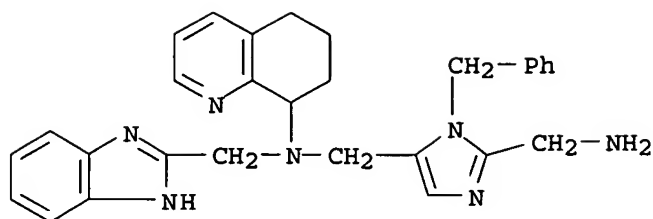
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CN 1H-Imidazole-2,5-dimethanamine, N5-(1H-benzimidazol-2-ylmethyl)-1-(phenylmethyl)-N5-(5,6,7,8-tetrahydro-8-quinolinyl)-, tetrahydrobromide (9CI) (CA INDEX NAME)

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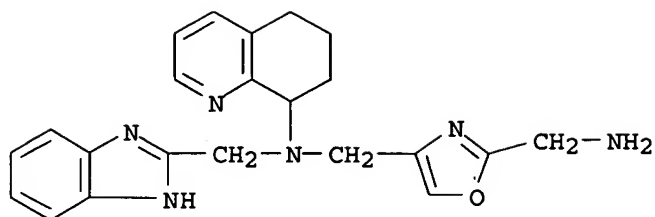


● 4 HBr

IT 421551-62-4P 422282-38-0P, AMD 9813 422284-58-0P  
, (1H-Benzimidazol-2-ylmethyl) [2-[(1H-benzimidazol-2-ylmethyl)amino]benzyl]-(5,6,7,8-tetrahydroquinolin-8-yl)amine  
422284-66-0P, AMD 9837 422284-71-7P,  
(2-Aminopyridin-3-ylmethyl) (benzimidazol-2-ylmethyl) (5,6,7,8-tetrahydro-8-quinolinyl)amine hydrobromide (1:3) 422284-86-4P,  
(6-Aminopyridin-2-ylmethyl) (1H-benzimidazol-2-ylmethyl) - (5,6,7,8-tetrahydroquinolin-8-yl)amine hydrobromide (1:3) 422284-88-6P,  
AMD 11191 422284-90-0P, [3-(1H-Benzimidazol-2-yl)benzyl] (1H-benzimidazol-2-ylmethyl) - (5,6,7,8-tetrahydroquinolin-8-yl)amine hydrobromide (1:3) 422284-91-1P, AMD 9902 422284-95-5P  
, 4-[[[(1H-Benzimidazol-2-ylmethyl) (5,6,7,8-tetrahydroquinolin-8-yl)amino]methyl]-2,6-dichloropyridine hydrobromide (1:2)  
422284-96-6P, AMD 9782 422284-98-8P, AMD 9786  
422284-99-9P, AMD 9787 422285-00-5P, AMD 9829  
422285-01-6P, (1H-Benzimidazol-2-ylmethyl) (pyridin-4-ylmethyl) (5,6,7,8-tetrahydroquinolin-8-yl)amine 422285-02-7P,  
(1H-Benzimidazol-2-ylmethyl) [benzo[1,3]dioxol-4-ylmethyl] (5,6,7,8-tetrahydroquinolin-8-yl)amine 422285-03-8P, Benzo[1,3]dioxol-5-ylmethyl (1H-benzimidazol-2-ylmethyl) (5,6,7,8-tetrahydroquinolin-8-yl)amine 422285-04-9P, AMD 9817 422285-05-0P,  
(1H-Benzimidazol-2-ylmethyl)pyridin-3-ylmethyl (5,6,7,8-tetrahydroquinolin-8-yl)amine hydrobromide (1:3) 422285-06-1P, AMD 9828  
422285-07-2P, Bis(1H-benzimidazol-2-ylmethyl) (5,6,7,8-tetrahydroquinolin-8-yl)amine hydrobromide (1:3) 422285-08-3P,  
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AMD 9755 422285-16-3P, AMD 9716  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(chemokine receptor modulator; preparation of N-(tetrahydroquinolinyl)-N-(benzimidazolylmethyl)amines and analogs as chemokine receptor modulators for treatment of HIV or FIV)  
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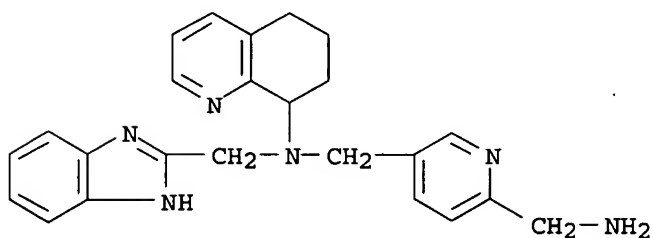
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●4 HBr

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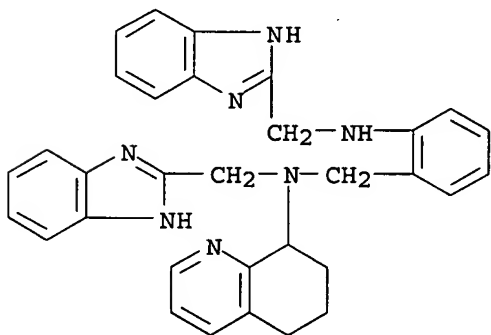
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●19/5 HBr

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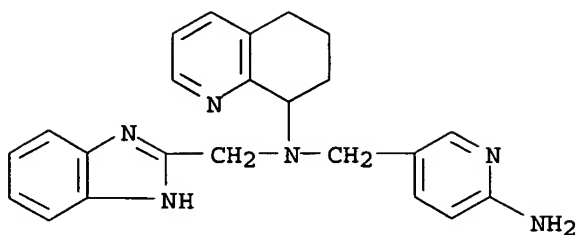
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RN 422284-66-0 CAPLUS

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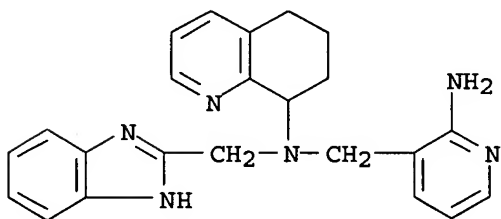
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●29/10 HBr

RN 422284-71-7 CAPLUS

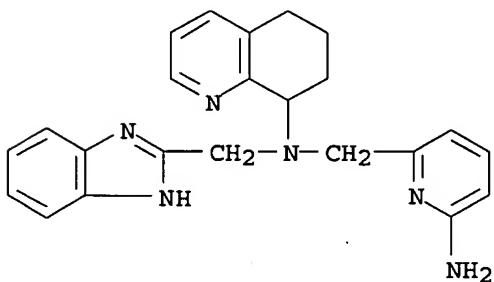
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●3 HBr

RN 422284-86-4 CAPLUS

CN 8-Quinolinamine, N-[(6-amino-2-pyridinyl)methyl]-N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-, trihydrobromide (9CI) (CA INDEX NAME)



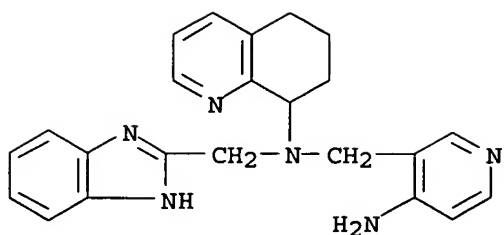
●3 HBr

RN 422284-88-6 CAPLUS

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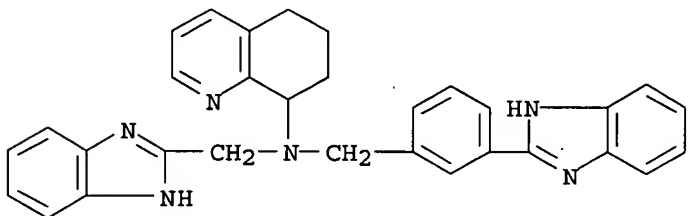
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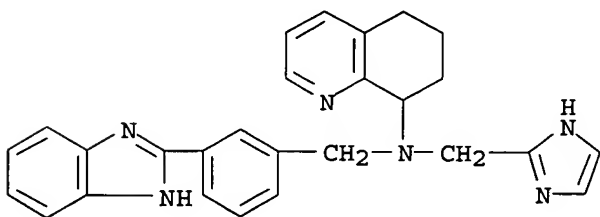
●31/10 HBr

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●3 HBr

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CN 8-Quinolinamine, N-[[3-(1H-benzimidazol-2-yl)phenyl]methyl]-5,6,7,8-tetrahydro-N-(1H-imidazol-2-ylmethyl)-, hydrobromide (10:27) (9CI) (CA INDEX NAME)



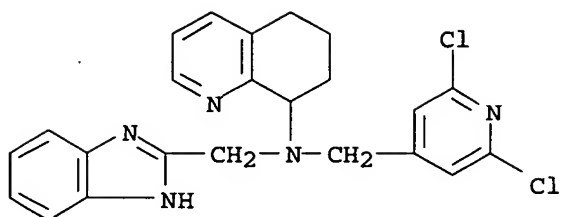
●27/10 HBr

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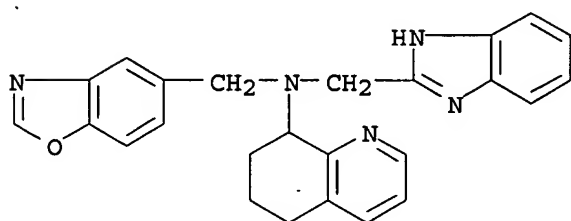
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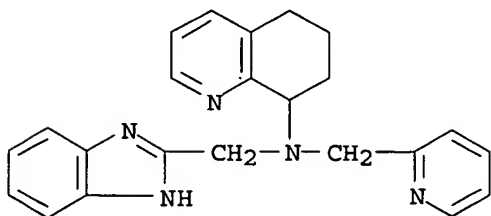
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CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-N-(5-benzoxazolylmethyl)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 422284-98-8 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-(2-pyridinylmethyl)-, hydrobromide (10:29) (9CI) (CA INDEX NAME)



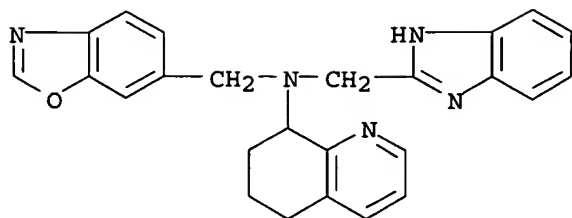
●29/10 HBr

RN 422284-99-9 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-N-(6-benzoxazolylmethyl)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

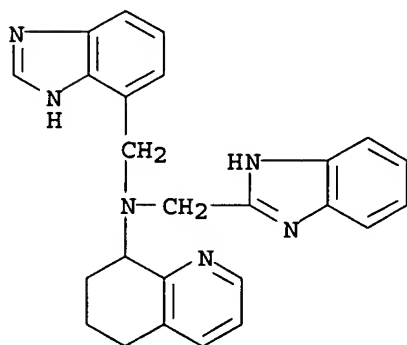
10799386

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RN 422285-00-5 CAPLUS

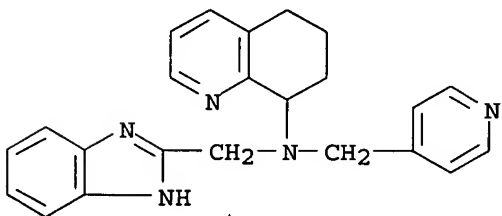
CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-N-(1H-benzimidazol-4-ylmethyl)-5,6,7,8-tetrahydro-, hydrobromide (10:29) (9CI) (CA INDEX NAME)



●29/10 HBr

RN 422285-01-6 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

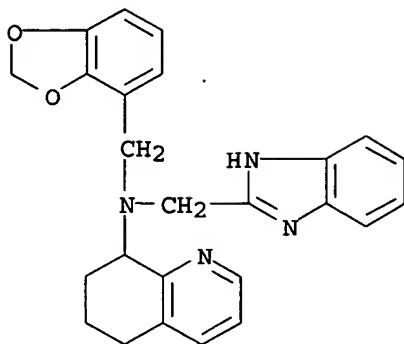


RN 422285-02-7 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-N-(1,3-benzodioxol-4-ylmethyl)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

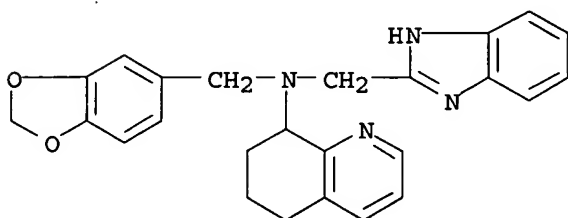
10799386

8/04/05



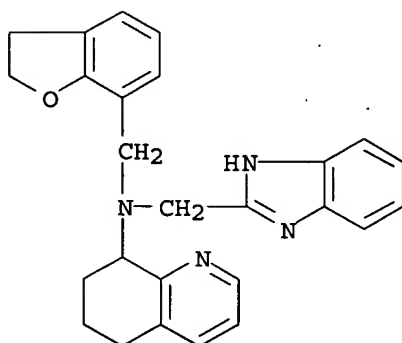
RN 422285-03-8 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-N-(1,3-benzodioxol-5-ylmethyl)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 422285-04-9 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-N-[(2,3-dihydro-7-benzofuranyl)methyl]-5,6,7,8-tetrahydro-, hydrobromide (5:11) (9CI) (CA INDEX NAME)



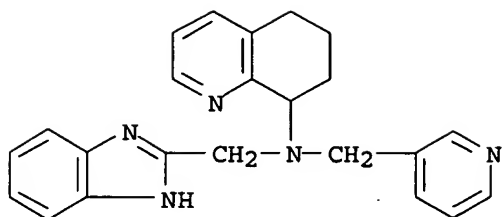
●11/5 HBr

RN 422285-05-0 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-(3-pyridinylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)

10799386

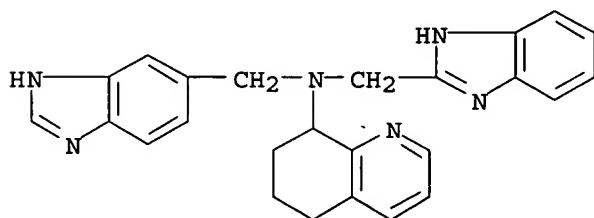
8/04/05



●3 HBr

RN 422285-06-1 CAPLUS

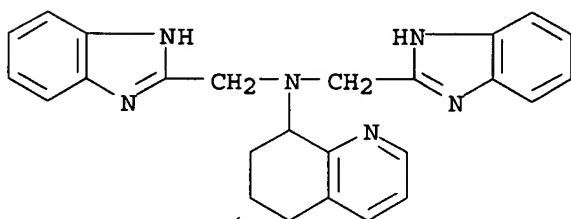
CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-N-(1H-benzimidazol-5-ylmethyl)-5,6,7,8-tetrahydro-, hydrobromide (10:29) (9CI) (CA INDEX NAME)



●29/10 HBr

RN 422285-07-2 CAPLUS

CN 8-Quinolinamine, N,N-bis(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-, trihydrobromide (9CI) (CA INDEX NAME)



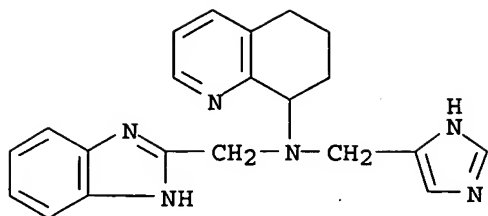
●3 HBr

RN 422285-08-3 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-(1H-imidazol-4-ylmethyl)-, trihydrobromide (9CI) (CA INDEX NAME)

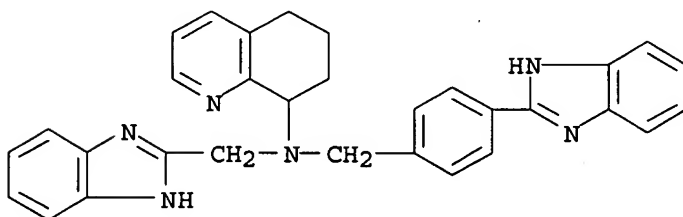


8/04/05



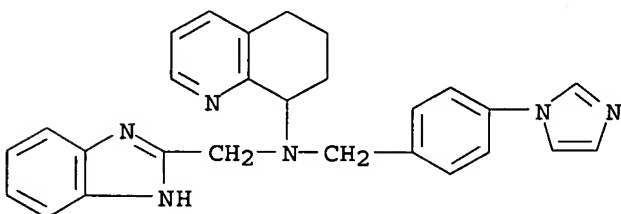
●3 HBr

RN 422285-09-4 CAPLUS  
CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-N-[[4-(1H-benzimidazol-2-yl)phenyl]methyl]-5,6,7,8-tetrahydro-, trihydrobromide (9CI) (CA INDEX NAME)



●3 HBr

RN 422285-12-9 CAPLUS  
CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[[4-(1H-imidazol-1-yl)phenyl]methyl]-, hydrobromide (5:16) (9CI) (CA INDEX NAME)

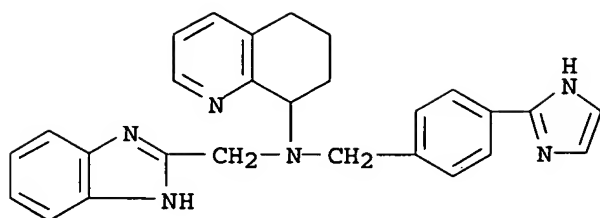


●16/5 HBr

RN 422285-16-3 CAPLUS  
CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[[4-(1H-imidazol-2-yl)phenyl]methyl]-, hydrobromide (5:16) (9CI) (CA INDEX NAME)

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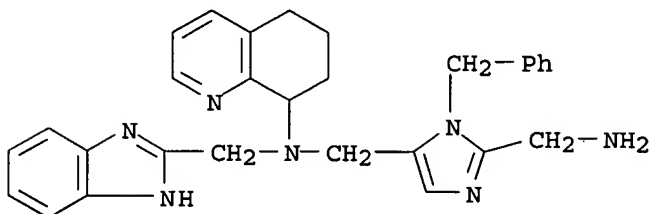
●16/5 HBr

IT 421551-71-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(chemokine receptor modulator; preparation of N-(tetrahydroquinolinyl)-N-(benzimidazolylmethyl)amines and analogs as chemokine receptor modulators for treatment of HIV or FIV)

RN 421551-71-5 CAPLUS

CN 1H-Imidazole-2,5-dimethanamine, N5-(1H-benzimidazol-2-ylmethyl)-1-(phenylmethyl)-N5-(5,6,7,8-tetrahydro-8-quinolinyl)- (9CI) (CA INDEX NAME)



IT 421551-65-7P 421551-68-0P 421551-69-1P,  
(1H-Benzimidazol-2-ylmethyl) (5,6,7,8-tetrahydroquinolin-8-yl)-[(2-hydroxymethyl-1-benzylimidazol-5-yl)methyl]amine 421551-70-4P  
421551-73-7P 421551-74-8P, (6-Aminomethylpyridin-3-ylmethyl) (benzimidazol-2-ylmethyl)- (5,6,7,8-tetrahydroquinolin-8-yl)amine  
421552-63-8P 421552-70-7P 421552-71-8P  
421552-80-9P 421552-85-4P, (4-Aminopyridin-3-ylmethyl) (1H-benzimidazol-2-ylmethyl)- (5,6,7,8-tetrahydroquinolin-8-yl)amine 421552-90-1P 421552-91-2P  
421552-92-3P 421552-93-4P 421552-95-6P  
421552-96-7P 421552-97-8P 421552-98-9P  
421552-99-0P, (1H-Benzimidazol-2-ylmethyl) (2,3-dihydrobenzofuran-7-ylmethyl)- (5,6,7,8-tetrahydroquinolin-8-yl)amine 421553-01-7P,  
(1H-Benzimidazol-2-ylmethyl) (pyridin-3-ylmethyl) (5,6,7,8-tetrahydroquinolin-8-yl)amine 421553-05-1P, (1H-Benzimidazol-5-ylmethyl) (1H-benzimidazol-2-ylmethyl)- (5,6,7,8-tetrahydroquinolin-8-yl)amine 421553-09-5P, Bis(1H-benzimidazol-2-ylmethyl) (5,6,7,8-tetrahydroquinolin-8-yl)amine 421553-13-1P 421553-28-8P  
421553-45-9P 421553-58-4P 421553-59-5P,  
[4-(1H-Imidazol-2-yl)benzyl] (1H-benzimidazol-2-ylmethyl) (5,6,7,8-tetrahydroquinolin-8-yl)amine  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

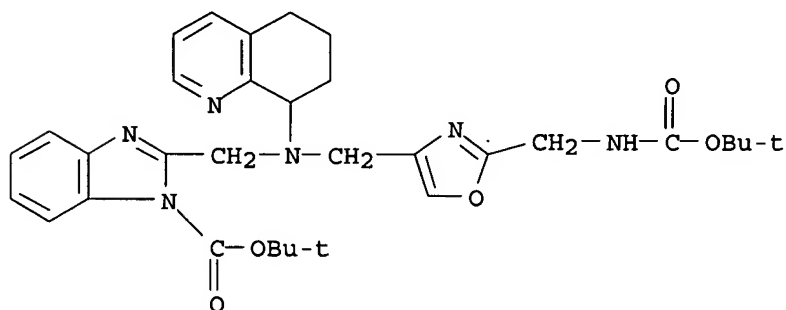
8/04/05

(Reactant or reagent)

(intermediate; preparation of N-(tetrahydroquinolinyl)-N-(benzimidazolylmethyl)amines and analogs as chemokine receptor modulators for treatment of HIV or FIV)

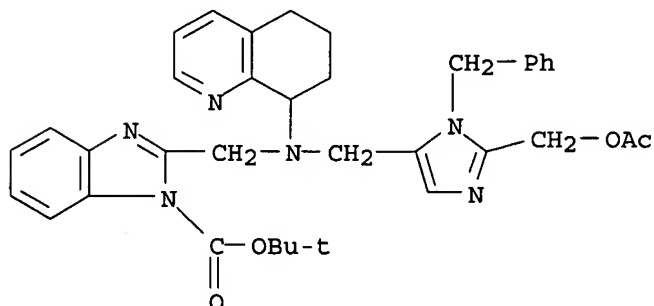
RN 421551-65-7 CAPLUS

1H-Benzimidazole-1-carboxylic acid, 2-[[[2-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-4-oxazolyl]methyl] (5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



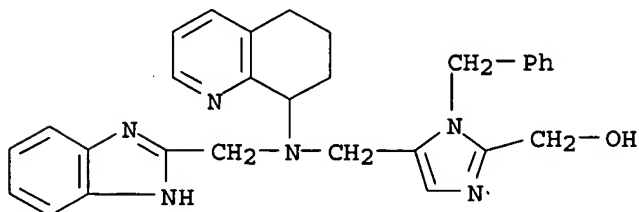
RN 421551-68-0 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[2-[(acetyloxy)methyl]-1-(phenylmethyl)-1H-imidazol-5-yl]methyl](5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 421551-69-1 CAPLUS

CN 1H-Imidazole-2-methanol, 5-[[[(1H-benzimidazol-2-ylmethyl) (5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

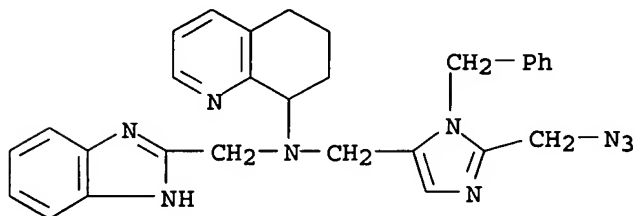


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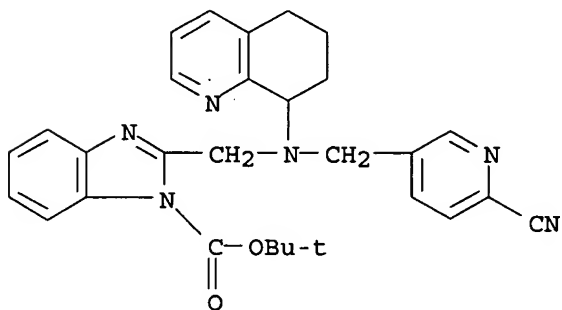
RN 421551-70-4 CAPLUS

CN 8-Quinolinamine, N-[[2-(azidomethyl)-1-(phenylmethyl)-1H-imidazol-5-yl)methyl]-N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



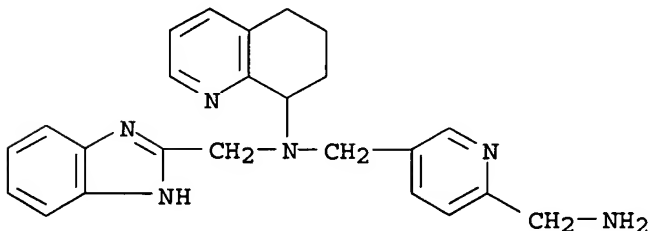
RN 421551-73-7 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[(6-cyano-3-pyridinyl)methyl] (5,6,7,8-tetrahydro-8-quinolinyl) amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 421551-74-8 CAPLUS

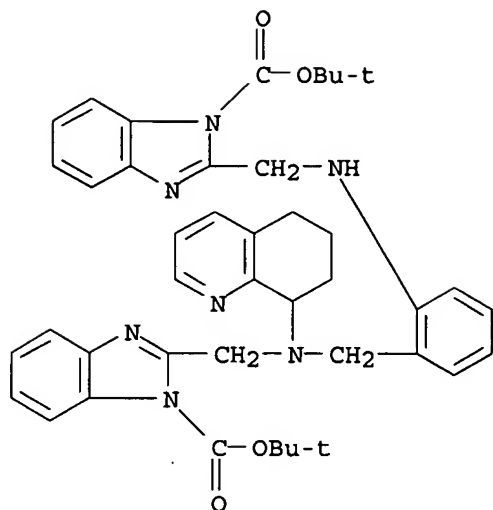
CN 2,5-Pyridinedimethanamine, N5-(1H-benzimidazol-2-ylmethyl)-N5-(5,6,7,8-tetrahydro-8-quinolinyl)- (9CI) (CA INDEX NAME)



RN 421552-63-8 CAPLUS

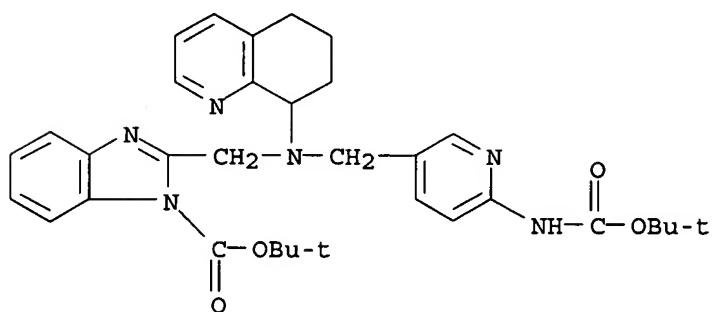
CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[2-[[[1-[(1,1-dimethylethoxy) carbonyl]-1H-benzimidazol-2-yl)methyl] amino]phenyl)methyl] (5,6,7,8-tetrahydro-8-quinolinyl) amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

8/04/05



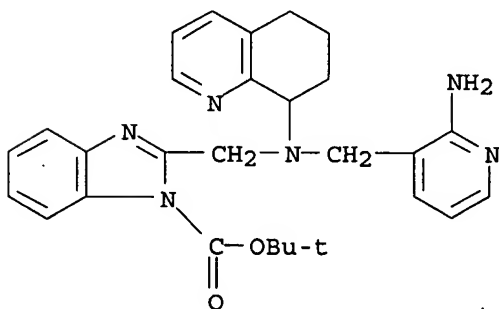
RN 421552-70-7 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[6-[[[(1,1-dimethylethoxy)carbonyl]amino]-3-pyridinyl]methyl](5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 421552-71-8 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[2-amino-3-pyridinyl]methyl](5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

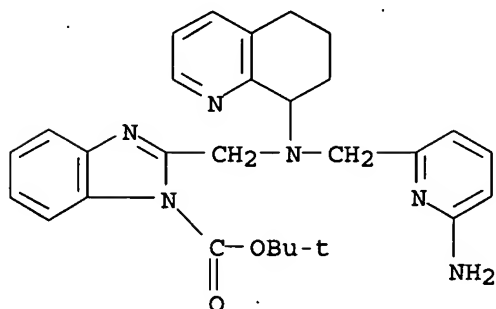


RN 421552-80-9 CAPLUS

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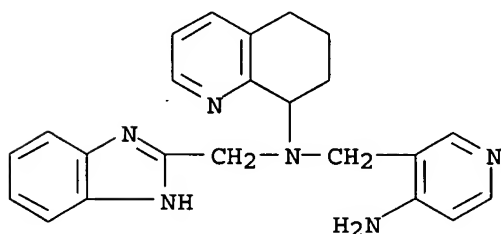
8/04/05

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[(6-amino-2-pyridinyl)methyl] (5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



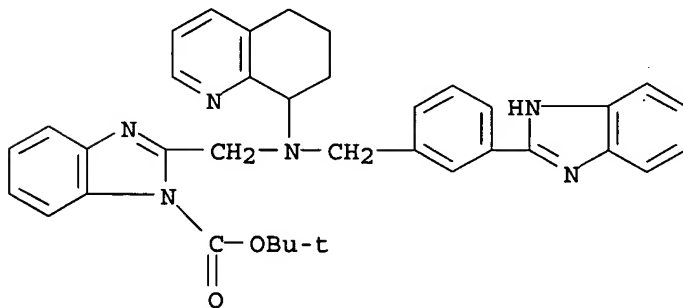
RN 421552-85-4 CAPLUS

CN 8-Quinolinamine, N-[(4-amino-3-pyridinyl)methyl]-N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 421552-90-1 CAPLUS

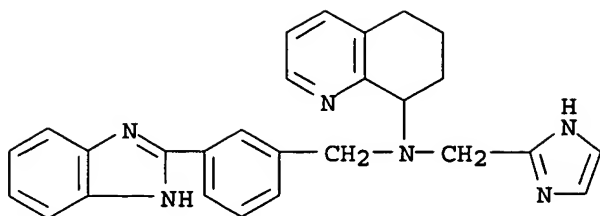
CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[3-(1H-benzimidazol-2-yl)phenyl]methyl] (5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 421552-91-2 CAPLUS

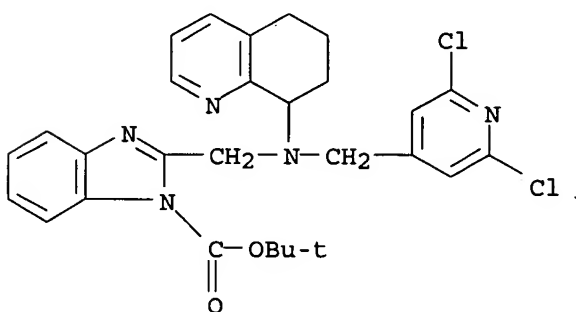
CN 8-Quinolinamine, N-[[3-(1H-benzimidazol-2-yl)phenyl]methyl]-5,6,7,8-tetrahydro-N-(1H-imidazol-2-ylmethyl)- (9CI) (CA INDEX NAME)

8/04/05



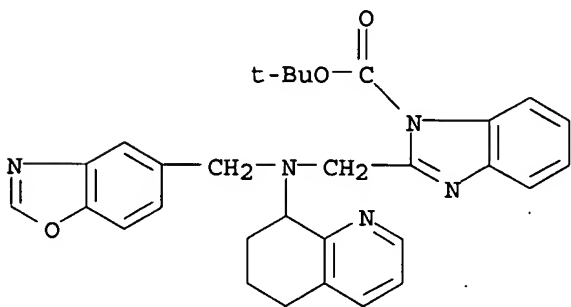
RN 421552-92-3 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[(2,6-dichloro-4-pyridinyl)methyl] (5,6,7,8-tetrahydro-8-quinolinyl) amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 421552-93-4 CAPLUS

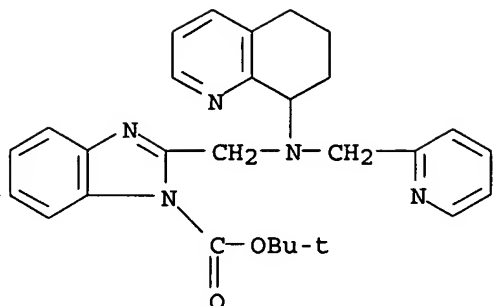
CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[(5-benzoxazolyl)methyl] (5,6,7,8-tetrahydro-8-quinolinyl) amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 421552-95-6 CAPLUS

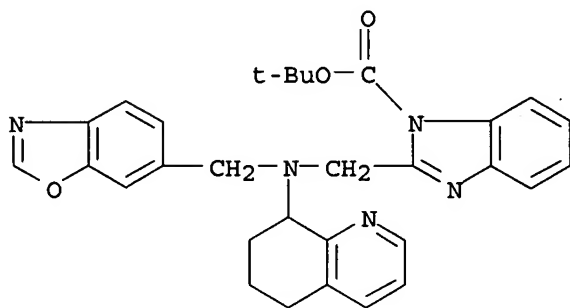
CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[(2-pyridinyl)methyl] (5,6,7,8-tetrahydro-8-quinolinyl) amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

8/04/05



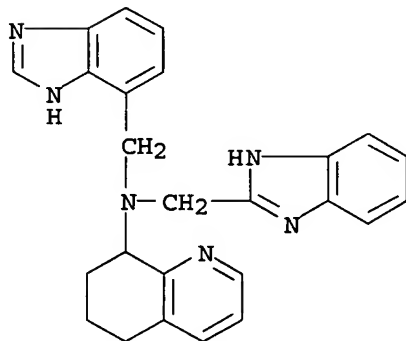
RN 421552-96-7 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[(6-benzoxazolylmethyl) (5,6,7,8-tetrahydro-8-quinoliny] amino)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 421552-97-8 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-N-(1H-benzimidazol-4-ylmethyl)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

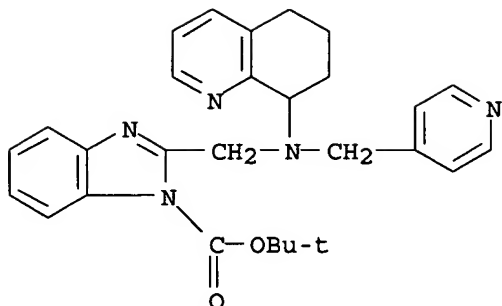


RN 421552-98-9 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[(4-pyridinylmethyl) (5,6,7,8-tetrahydro-8-quinoliny] amino)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

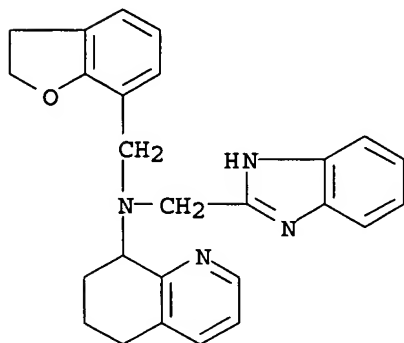


8/04/05



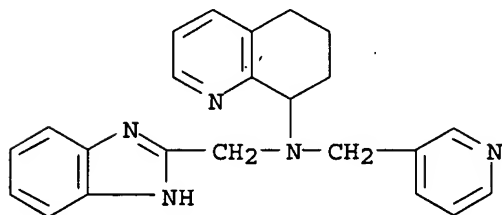
RN 421552-99-0 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-N-[(2,3-dihydro-7-benzofuranyl)methyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 421553-01-7 CAPLUS

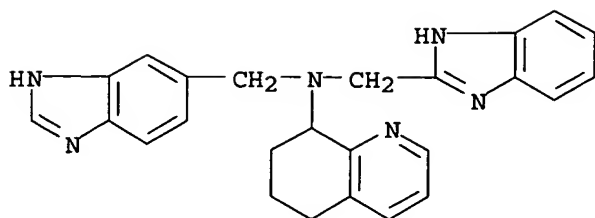
CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-N-(3-pyridinylmethyl)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 421553-05-1 CAPLUS

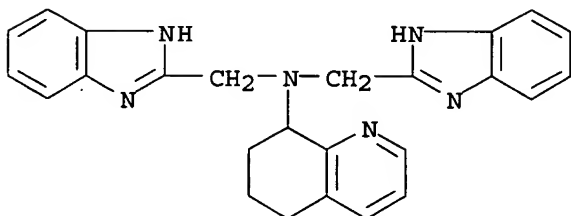
CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-N-(1H-benzimidazol-5-ylmethyl)-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

8/04/05



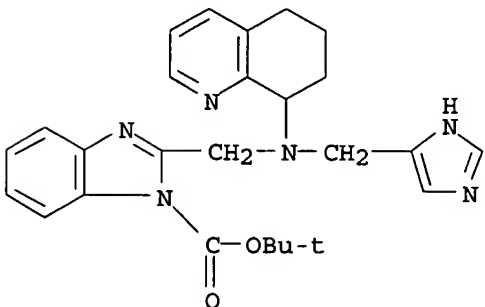
RN 421553-09-5 CAPLUS

CN 8-Quinolinamine, N,N-bis(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-  
(9CI) (CA INDEX NAME)



RN 421553-13-1 CAPLUS

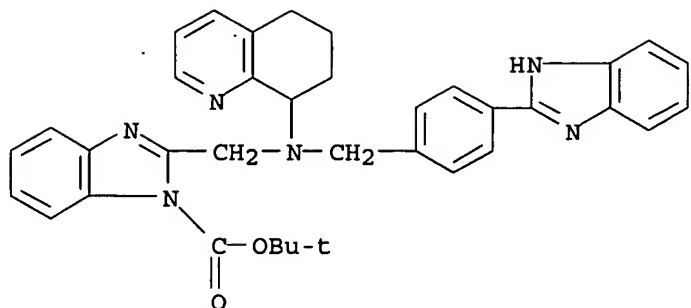
CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[(1H-imidazol-4-ylmethyl) (5,6,7,8-tetrahydro-8-quinolinyl) amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 421553-28-8 CAPLUS

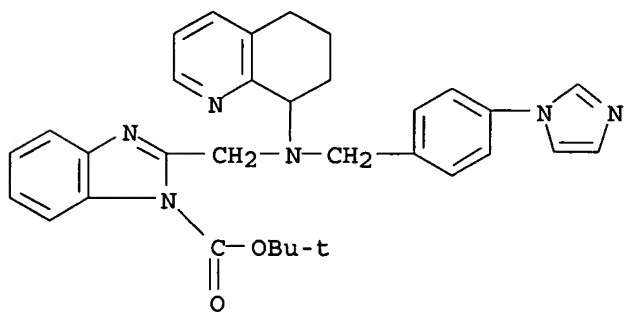
CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[[4-(1H-benzimidazol-2-yl)phenyl]methyl] (5,6,7,8-tetrahydro-8-quinolinyl) amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

8/04/05



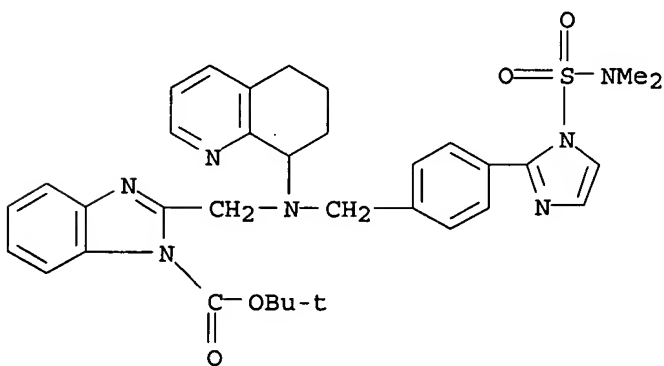
RN 421553-45-9 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[4-(1H-imidazol-1-yl)phenyl]methyl](5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 421553-58-4 CAPLUS

CN 1H-Benzimidazole-1-carboxylic acid, 2-[[[4-[1-[(dimethylamino)sulfonyl]-1H-imidazol-2-yl]phenyl]methyl](5,6,7,8-tetrahydro-8-quinolinyl)amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

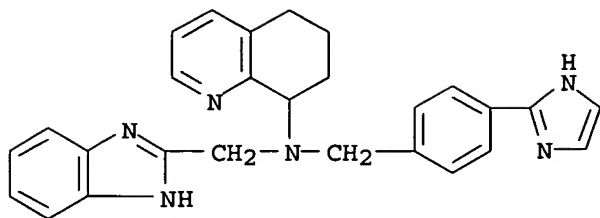


RN 421553-59-5 CAPLUS

CN 8-Quinolinamine, N-(1H-benzimidazol-2-ylmethyl)-5,6,7,8-tetrahydro-N-[[4-(1H-imidazol-2-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)

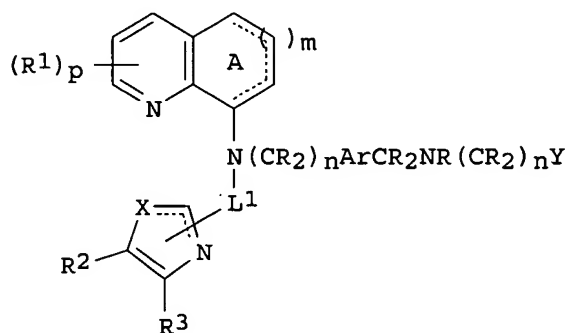
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RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
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AB Title compds. [I; ring A optionally contains N, O, S; dotted lines = optional unsatn.;  $R^1$ ,  $R^2$ ,  $R^3$  = non-interfering substituents;  $p = 0-4$ ;  $m = 0-2$ ;  $L^1$  = linker of 1.5-10 Å;  $X = O, S$ , (substituted) C, N;  $Ar$  = aryl;  $n = 0-2$ ;  $R = H$ , alkyl;  $Y = aryl$ , heteroaryl, heterocyclyl], were prepared. Thus, 5-trifluoromethyl-2-chloromethylbenzimidazole (preparation given), N-(tert-butoxycarbonyl)-N-(2-pyridinylmethyl)-N'-(5,6,7,8-tetrahydro-8-quinolinyl)-1,4-benzenedimethanamine, and diisopropylethylamine were stirred at 80° in DMF for 16 h to yield N-(tert-butoxycarbonyl)-N-(2-pyridinylmethyl)-N'-(5-trifluoromethyl-1H-benzimidazol-2-ylmethyl)-N'-(5,6,7,8-tetrahydro-8-quinolinyl)-1,4-benzenedimethanamine. Deprotection with HBr in HOAc or dioxane gave N-(2-pyridinylmethyl)-N'-(5-trifluoromethyl-1H-benzimidazol-2-ylmethyl)-N'-(5,6,7,8-tetrahydro-8-quinolinyl)-1,4-benzenedimethanamine hydrobromide. Several I inhibited HIV-1 replication in MT-4 cells with  $EC_{50} < 20 \mu g/mL$ .

AN 2002:220576 CAPLUS

DN 136:263160

TI Preparation of azolylmethylaminotetrahydroquinolines and related compounds as chemokine receptor binding agents.

IN Bridger, Gary; Skerlj, Renato; Kaller, Al; Harwig, Curtis; Bogucki, David; Wilson, Trevor R.; Crawford, Jason; McEachern, Ernest J.; Atsma, Bem; Nan, Siqiao; Zhou, Yuanxi; Schols, Dominique; Smith, Christopher Dennis; Di, Fluri Rosaria Maria

PA Anormed Inc., Can.

SO PCT Int. Appl., 254 pp.

CODEN: PIXXD2

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DT Patent  
LA English  
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022600	A2	20020321	WO 2001-CA1326	20010917
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PATENT FAMILY INFORMATION:

FAN 2002:220575

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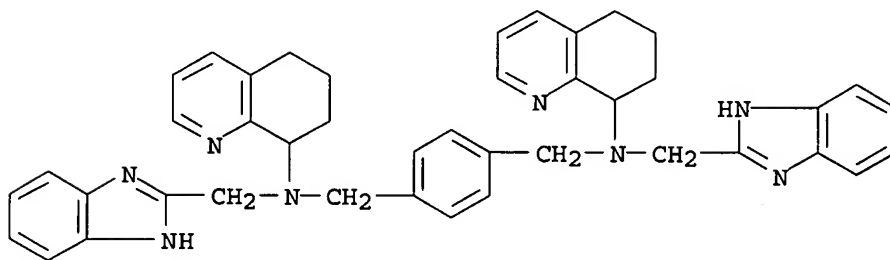
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(preparation of azolylmethylaninotetrahydroquinolines and related compds. as chemokine receptor binding agents)

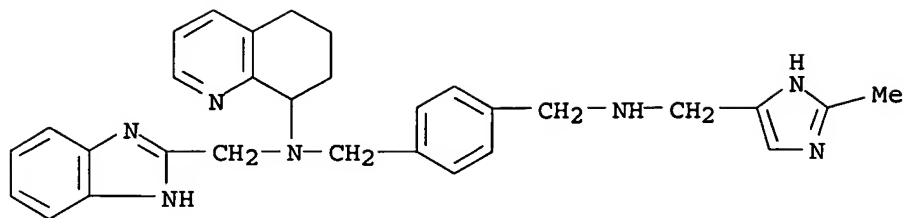
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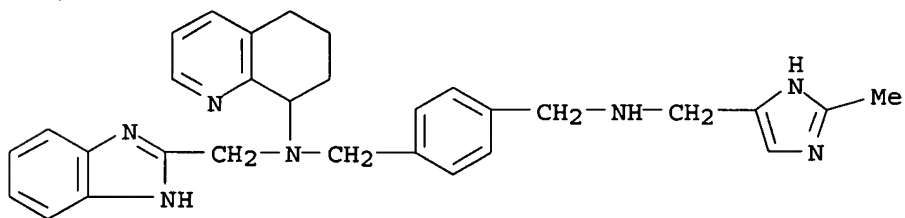
8/04/05



●4 HBr

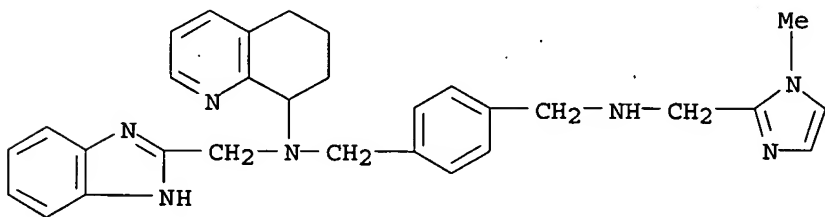
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RN 405172-83-0 CAPLUS

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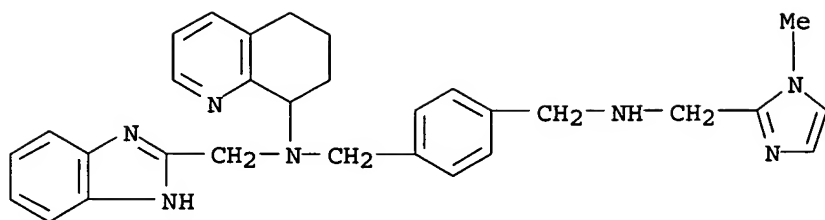


●39/10 HBr

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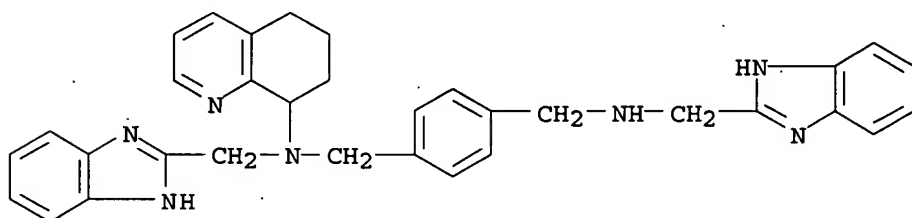
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RN 405173-01-5 CAPLUS

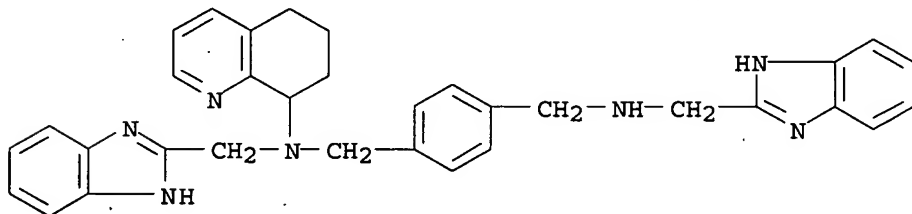
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● 3 HBr

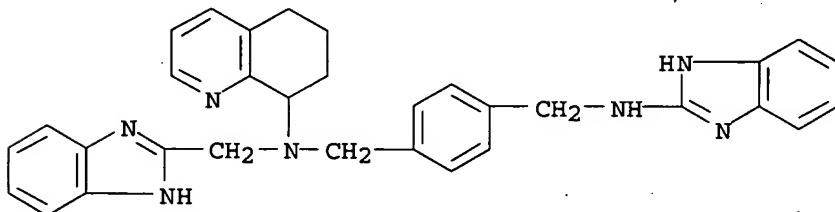
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RN 405173-43-5 CAPLUS

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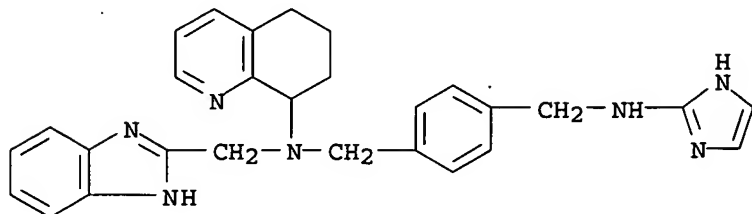


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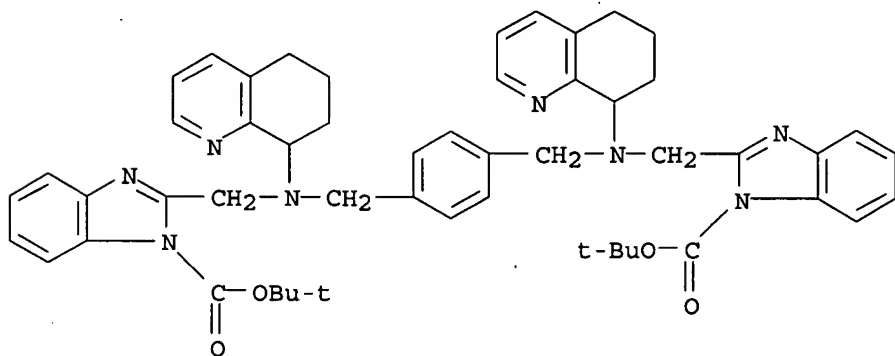
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azolylmethylaminotetrahydroquinolines and related compds. as chemokine receptor binding agents)

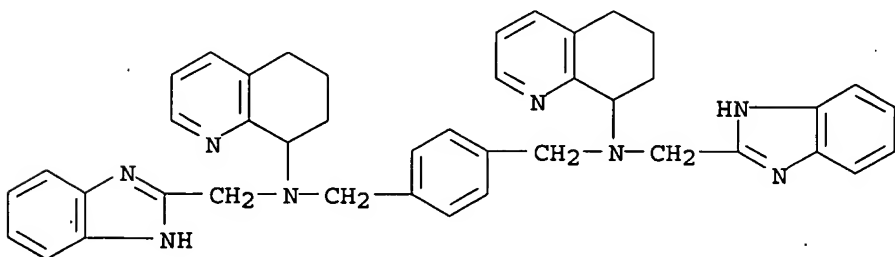
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RN 405174-86-9 CAPLUS

CN 1,4-Benzenedimethanamine, N,N'-bis(1H-benzimidazol-2-ylmethyl)-N,N'-bis(5,6,7,8-tetrahydro-8-quinolinyl)- (9CI) (CA INDEX NAME)



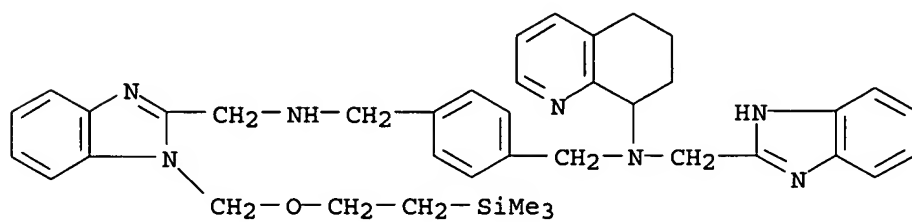
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CN 1,4-Benzenedimethanamine, N-(1H-benzimidazol-2-ylmethyl)-N-(5,6,7,8-

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tetrahydro-8-quinoliny)-N'-[[1-[[2-(trimethylsilyl)ethoxy)methyl]-1H-benzimidazol-2-yl)methyl]- (9CI) (CA INDEX NAME)



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